Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	169154	phospholipid distearoylphosphatidylethanolamine (polyoxypropylene or polyoxyethylene)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/21 12:13
L2	662	phospholipid distearoylphosphatidylethanolamine (polyoxypropylene or polyoxyethylene)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:13
L3 _.	18	phospholipid (succinyldistearoylphosphatidylethan olamine or distearoylphosphatidylethanolamine) (polyoxypropylene or polyoxyethylene) monomethyl	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:16
L4	662	phospholipid (succinyldistearoylphosphatidylethan olamine or distearoylphosphatidylethanolamine) (polyoxypropylene or polyoxyethylene)	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:17
L5	23635	lipid membrane (pharmaceutical or medicament) surfactant	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:18
L6	643	I5 and I4	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:18
L7	17	13 and 15	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	AND	ON	2007/06/21 12:20
L8	0	(("1999313828") or ("1998085969")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:21

L9	0	(("19990313828") or ("1998085969")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR.	OFF	2007/06/21 12:21
L10	0	(("19990313828") or ("19980085969")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:21
L11	0	(("199900313828") or ("19980085969")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:21
L12	0	(("1999313828") or ("199885969")). PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR .	OFF	2007/06/21 12:21
L13	2	("2002147136").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:21
L14		("20020147136").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:22
L15	2	("20020071843").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:22
L16	3	("2002071843").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF .	2007/06/21 12:23

L17	2	("20020147136").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO;	OR	OFF	2007/06/21 12:23
L18	3	("2002036161").PN.	DERWENT US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO;	OR	OFF	2007/06/21 12:23
L19	2	("20020036161").PN.	DERWENT US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR ·	OFF	2007/06/21 12:24
L20		("200236161").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:25
L21	2	("6139819").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:25
L22	0	("1996012353").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:26
L23	3	("9731624").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:26
L24	2	("5554728").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:26

L25	2	("0307175").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:27
L26		("4423038").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:28
L27	. 0	("200384576").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:28
L28	0	("200384574").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:28
L29	4	("2003084574").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:28
L30	3	(("2003440201") or ("2002360821")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:29
L31	0	(("20030440201") or ("20020360821")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF ·	2007/06/21 12:29
L32	0	(("200300440201") or ("200200360821")).PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:29

L33	2	("5614214").PN.	US-PGPUB; USPAT;	OR	OFF	2007/06/21 12:30
	·		USOCR; FPRS; EPO; JPO; DERWENT			
L34	4	("9611670").PN.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	OFF	2007/06/21 12:30
L35	41	113 114 115 116 117 118 119 120 121 123 124 125 126 129 130 133 134	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/21 12:32
L36	1	135 and 17	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/21 12:33
L37	2	135 and 16	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/21 12:35
L38	0	"1997932273"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON .	2007/06/21 12:36
L39	0	"19970932273"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR .	ON	2007/06/21 12:36
L40	0	"199700932273"	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT	OR	ON	2007/06/21 12:36

Welcome to STN International! Enter x:x

LOGINID: SSPTAJYC1621

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

Welcome to STN International Web Page for STN Seminar Schedule - N. America NEWS NEWS MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format MAR 16 NEWS 3 CASREACT coverage extended MAR 20 MARPAT now updated daily NEWS 4 MAR 22 LWPI reloaded NEWS MAR 30 RDISCLOSURE reloaded with enhancements NEWS 6 7 NEWS APR 02 JICST-EPLUS removed from database clusters and STN NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field 9 APR 30 CHEMCATS enhanced with 1.2 million new records NEWS APR 30 NEWS 10 CA/CAplus enhanced with 1870-1889 U.S. patent records NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN NEWS 12 MAY 01 New CAS web site launched NEWS 13 MAY 08 CA/CAplus Indian patent publication number format defined NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data MAY 21 TOXCENTER enhanced with BIOSIS reload NEWS 16 NEWS 17 MAY 21 CA/CAplus enhanced with additional kind codes for German patents NEWS 18 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese patents NEWS 19 JUN 18 CA/CAplus to be enhanced with pre-1967 CAS Registry Numbers NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006. NEWS HOURS STN Operating Hours Plus Help Desk Availability NEWS LOGIN Welcome Banner and News Items NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * STN Columbus

FILE 'HOME' ENTERED AT 10:51:51 ON 21 JUN 2007

=> file registry COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:52:08 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1 DICTIONARY FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\jcho2\My Documents\10549630-i.str

L1 STRUCTURE UPLOADED

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 2.25 2.46

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:55:01 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1 DICTIONARY FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

Uploading C:\Documents and Settings\jcho2\My Documents\10549630-j.str

=> d 12

L2 HAS NO ANSWERS

L2 STR

Me
$$\begin{bmatrix} cH_2 \\ 6-20 \end{bmatrix}$$
 CH_2 CH_2

Structure attributes must be viewed using STN Express query preparation.

=> s 12 sss full FULL SEARCH INITIATED 10:55:41 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3227 TO ITERATE

100.0% PROCESSED 3227 ITERATIONS

SEARCH TIME: 00.00.01

1113 SEA SSS FUL L2

=> d scan

L3 1113 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN
IN Poly(oxy-1,2-ethanediyl), α-[6-hydroxy-6-oxido-1,12-dioxo-9-[(1-oxooctadecyl)oxy]-5,7,11-trioxa-2-aza-6-phosphanonacos-1-yl]-ω-hydroxy-, 8-ether with L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-L-prolyl-L-seryl-S-[1-[3-[(2-hydroxyethyl)amino]-3-oxopropyl]-2,5-dioxo-3-pyrrolidinyl]-L-cysteine (9CI)
SQL 8
MF (C2 H4 O)n C94 H146 N11 O25 P S
CI PMS

1113 ANSWERS

RELATED SEQUENCES AVAILABLE WITH SEQLINK

PAGE 2-A

PAGE 2-C

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 172.55 175.01

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:56:13 ON 21 JUN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December

26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Jun 2007 VOL 146 ISS 26 FILE LAST UPDATED: 20 Jun 2007 (20070620/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 13

=> log off

L4 1068 L3

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF LOGOFF? (Y)/N/HOLD:n

=> file registry COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 3.29 178.30

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 11:00:34 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1 DICTIONARY FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\jcho2\My Documents\10549630-k.str

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 15 sss full FULL SEARCH INITIATED 11:01:20 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 365 TO ITERATE

100.0% PROCESSED

365 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

L6

2 SEA SSS FUL L5 -

=> d scan

L6 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN Oxirane, methyl-, polymer with oxirane, mono[6-hydroxy-6-oxido-12-oxo-9-[(1-oxooctadecyl)oxy]-5,7,11-trioxa-2-aza-6-phosphanonacosanoate], methyl ether (9CI)

MF C42 H82 N O10 P . (C3 H6 O . C2 H4 O)x . C H4 O

CM 1

CM 2

 $_{
m H3C-OH}$

CM 3

CM 4



CM 5



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L6 2 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 5,7,11-Trioxa-2-aza-6-phosphanonacosanoic acid, 6-hydroxy-12-oxo-9-[(1-

oxooctadecyl)oxy]-, 6-oxide (9CI) MF C42 H82 N O10 P CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 172.55 350.85

FILE 'CAPLUS' ENTERED AT 11:01:42 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Jun 2007 VOL 146 ISS 26 FILE LAST UPDATED: 20 Jun 2007 (20070620/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 16

L7 1 L6

=> d 17 bib abs hitstr

L7 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:799592 CAPLUS

DN 141:320053

TI Phospholipid derivatives for liposome compositions

IN Itoh, Chika; Ohhashi, Syunsuke; Kubo, Kazuhiro; Yasukohchi, Tohru; Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi

PA NOF Corporation, Japan; Daiichi Pharmaceutical Co. Ltd.

```
PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                  DATE
                                              APPLICATION NO.
                                                                      DATE
PΙ
     WO 2004083219
                           A1
                                 20040930
                                              WO 2004-JP3789
                                                                      20040319
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG.
                                 20070208
                                              US 2006-549630
                                                                      20060817
     US 2007031481
                           A1
PRAI JP 2003-77242
                                 20030320
                           Α
     WO 2004-JP3789
                           W
                                 20040319
AB 
     A phospholipid derivative represented by the formula
     R1COCH2CH (OCR2) CH2OP (OX) O2CH2CH2NHCO (CH2) a (CO) bO (A10) m (A20) n (A30) qR3
     (R1CO, R2CO = acyl; R3 = H, hydrocarbon; a = 0-4; b = 0-1, provided that
     when a is 0, then b is 0; X = H, alkali metal, ammonium, organic ammonium;
     A10, A20, and A30 = oxyalkylene, provided that the proportion of
     oxyethylene in AlO and A3O is 0.5 or higher by weight; and m, n, and q each
     indicates the average number of moles added, provided that 5 \le m \le 1
     600, 1 \le n \le 45, 0 \le q \le 200, 10 \le m +
     n + q \le 600, 0.04 \le n/(m + n + q), and q/(m + n + q)
     ≤ 0.8). The derivative, on the surface of a liposome, is inhibited
     from spreading its polyalkylene oxide structure and thus serves to
     increase the amount of the hydrated layer on the surface and thereby
     heighten the stability of the liposome. A phospholipid compound monomethyl
     polyoxypropylene-polyoxyethylenesuccinyl distearoylphosphatidylethanolamin
     e was prepared The phospholipid 1.04 mM was mixed with hydrogenated soybean
     phosphatidylcholine (HSPC) 11.28 cholesterol 7.68 mM, and doxorubicin
     solution q.s. to form a liposome with an average particle size of 95 nm.
     766509-45-9P, Monomethyl polyoxypropylene-polyoxyethylene
     carbamyldistearoylphosphatidylethanolamine
     RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic
     use); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (phospholipid derivs. for liposome compns.)
RN
     766509-45-9 CAPLUS
     Oxirane, methyl-, polymer with oxirane, mono[6-hydroxy-6-oxido-12-oxo-9-
CN
     [(1-oxooctadecyl)oxy]-5,7,11-trioxa-2-aza-6-phosphanonacosanoate], methyl
                  (CA INDEX NAME)
     ether (9CI)
     CM
          1
     CRN
          766509-44-8
          C42 H82 N O10 P
     CMF
```

CRN 67-56-1 C H4 O CMF

нзс-он

CM

CRN 9003-11-6

(C3 H6 O . C2 H4 O) xCMF

CCI PMS

> CM 4

CRN 75-56-9 CMF C3 H6 O



CM 5

CRN 75-21-8 CMF C2 H4 O



=> file registry COST IN U.S. DOLLARS

CA SUBSCRIBER PRICE

SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 7.62 358.47

SINCE FILE DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) TOTAL ENTRY SESSION

-0.78

-0.78

FILE 'REGISTRY' ENTERED AT 11:04:47 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

HIGHEST RN 938114-25-1 STRUCTURE FILE UPDATES: 20 JUN 2007 20 JUN 2007 HIGHEST RN 938114-25-1 DICTIONARY FILE UPDATES:

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Documents and Settings\jcho2\My Documents\10549630-1.str

L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

T8

STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 18 sss full

FULL SEARCH INITIATED 11:05:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1078 TO ITERATE

100.0% PROCESSED 1078 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L9 18 SEA SSS FUL L8

=> d scan

L9 18 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

IN 1,2,3-Propanetriol, homopolymer, mono[9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-8,10,14-trioxa-5-aza-9-phosphadotriacontanoate] (9CI)

MF C45 H86 N $\overline{\text{O11}}$ P . (C3 H8 O3) x

CM 1

CM 2

CM 3

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 172.55 531.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL
ENTRY SESSION

CA SUBSCRIBER PRICE

0.00 -0.78

FILE 'CAPLUS' ENTERED AT 11:05:46 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Jun 2007 VOL 146 ISS 26 FILE LAST UPDATED: 20 Jun 2007 (20070620/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 19

L10 · 30 L9

=> d 110 1-30 bib abs hitstr

L10 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:173633 CAPLUS

DN 146:269771

TI Separation processes

IN Rongved, Pal; Loevhaug, Dagfinn; Fjerdingstad, Hege; Solbakken, Magne; Godal, Aslak; Cuthbertson, Alan

PA Norway

SO U.S. Pat. Appl. Publ., 17pp., Cont.-in-part of U.S. Ser. No. 722,075. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 10

	PATENT NO.				77 7 3 7 7				7		T T ~ 7	DATE						
	PATEN	T NO.			KIND) L	DATE		APPLICATION NO.							DATE		
									-							-		
ΡI	US 20	07036	722		A1	2	20070	0215	Ę	JS	2006	-49	865	1		2	0060	803
	CN 12	34742			Α	1	999:	1.110	(CN	1997	-19	904	7		1	9971	028
	HU 99	04595			A2	2	2000	0428	ŀ	UF	1999	-45	95			1	9971	028
	AT 31	8618			${f T}$	2	20060	315	I	\mathbf{T}	1997	-91	051	4		1	9971	028
	ES 22	64159			Т3	2	2006	1216	E	ES	1997	-91	051	4		1	9971	028
	US 62	261537			В1	2	2001	0717	J .	JS	1997	-96	005	4		1	9971	029
	EP 14	142751			A1	2	20040	0804	E	ΞP	2004	-72	26			1	9980	424
	F	R: AT	, BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT	, L	I,	LU,	NL,	SE,	MC,	PΤ,
		ΙE	, FI,	CY														

		ES	2224379	Т3	20050301	ES	1998-9174	161		1998	30424
		KR	2000052829	A	20000825	KR	1999-7036	558	•	1999	90427
		US	2002102215	A1	20020801	US	2001-7656	514		2001	10122
		US	2002102217	A1	20020801	US	2001-9257	715		200	10810
		US	6680047	B2	20040120						
		CN	1440816	Α.	20030910	CN	2002-1604	120		2002	21230
		US	2004141922	A1	20040722	US	2003-7220	75		2003	31126
		US	2005002865	A1	20050106	US	2003-7347	730		2000	31215
1	PRAI	GB	1996-22366	Α	19961028						
		GB	1996-22367	Α	19961028						
		GB	1996-22368	Α .	19961028		*				
		GB	1997-699	Α	19970115						
		GB	1997-8265	· A	19970424						
		GB	1997-11842	Α	19970606		-				
		GB	1997-11846	A	19970606				•		•
		US	1997-49264P	P	19970606						
		US	1997-49265P	P	19970606	•					
		US	1997-49268P	P	19970606	•					
		US	1997-958993	A2	19971028						
		US	1997-960054	A1	19971029						
		US	2001-765614	B1	20010122						
		US	2003-722075	A2 ·	20031126		•				
		GB	1996-22369	Α	19961028						
		GB	1997-2195	A	19970204						
		GB	1997-11837	Α	19970606						
		GB	1997-11839	A	19970606						
		US	1997-49263P	P	19970607						
		·US	1997-49266P	P .	19970607						•
		US	1997-959206	A	19971028						
		ΕP	1998-917461	A3	19980424						
		US	2001-925715	A1	20010810						
7	AB	Ser	paration of target	mater	ial from a l	iqui	id sample	is a	chieved	by	coupli

AB Separation of target material from a liquid sample is achieved by coupling the target to targetable encapsulated gas microbubbles, allowing the microbubbles and coupled target to float to the surface of the sample to form a floating microbubble/target layer, and separating this layer from the sample. In a pos. separation process the microbubbles are then removed from the target, e.g. by bursting. In a neg. separation process target-free sample material is recovered following separation of the floating layer. The method may also be used diagnostically to detect the presence of a disease marker in a sample. Novel separation apparatus is also described. Gas microbubbles encapsulated with DSPS and thiolated anti-CD34 antibodies-Mal-PEG2000DSPE, useful for separation of hematopoietic stem cells, were prepared

RL: RCT (Reactant); RACT (Reactant or reagent)

(in preparation of microbubbles carrying nitrilotriacetic acid chelate binding groups; separation processes and separation apparatus using targetable

encapsulated gas microbubbles)

RN 248253-94-3 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

```
L10 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN
     2006:1250986 CAPLUS
ΑN
DN
     146:33006
     Lipid construct for delivery of insulin to a mammal
ΤI
     Lau, John R.; Geho, W. Blair
IN
PA
     SDG, Inc., USA
     PCT Int. Appl., 148pp.
     CODEN: PIXXD2
DT .
     Patent
LA
     English
FAN.CNT 5
                         KIND
                                DATE
     PATENT NO.
                                            APPLICATION NO.
                                                                    DATE
                          A2
                                20061130
                                            WO 2006-US19119
                                                                    20060516
PΙ
     WO 2006127361
     WO 2006127361
                         · A3
                                20070524
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
                                            US 2006-384659
     US 2006222697
                          Α1
                                20061005
                                                                    20060320
                                            US 2006-384728
                                                                    20060320
     US 2006222698
                          Α1
                                20061005
                          Ρ
PRAI US 2005-683878P
                                20050523
     US 2006-384659
                          Α
                                20060320
     US 2006-384728
                          Α
                                20060320
     US 1998-85969P
                         Р
                                19980519
     US 1999-313828
                          Α2
                                19990518
AΒ
     The instant invention is drawn to a hepatocyte targeted composition comprising
     insulin associated with a lipid construct comprising an amphipathic lipid and
     an extended amphipathic lipid that targets the construct to a receptor
     displayed by an hepatocyte. The composition can comprise a mixture of free
     insulin and insulin associated with the complex. The composition can be
modified
     to protect insulin and the complex from degradation. The invention also
     includes methods for the manufacture of the composition and loading insulin
     composition and recycling various components of the composition Methods of
treating
     individuals inflicted with diabetes are described. Thus, hepatic directed
     vesicle (HDV) insulin test materials were prepared containing about 191 ng/kg
of
     extended amphipathic lipid (Biotin-X DHPE or Biotin DHPE) and about 14.5
     mg/kg of amphipathic lipids (a mixture of 1,2-distearoyl-sn-glycero-3-
     phosphocholine, cholesterol, and dicetyl phosphate). The test materials
     obtained had higher levels of hepatic glycogen in diabetic rats than did
     the regular insulin.
     150525-42-1
ΙT
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (lipid constructs for insulin targeting to hepatocyte receptor and
        treatment of diabetes)
RN
     150525-42-1 CAPLUS
     8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-
CN
     [(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)
```

Absolute stereochemistry.

```
ANSWER 3 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN
L10
AN
     2006:1250980 CAPLUS
DN
     146:50261
     Lipid construct for delivery of interferon to a mammal
ΤI
IN
     Lau, John R.; Geho, W. Blair
PA
     SDG, Inc., USA
SO
     PCT Int. Appl., 102pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 5
                                             APPLICATION NO.
                                 DATE
                                                                     DATE
     PATENT NO.
                         KIND
                         ____
     WO 2006127360
                          A2
                                 20061130
                                             WO 2006-US19118
                                                                    20060516
PΙ
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
             KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
             MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
             SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
             VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
             CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
             GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
PRAI US 2005-683878P
                          Ρ
                                 20050523
     US 2006-384575
                          Α
                                 20060320
```

AB The instant invention is drawn to a hepatocyte targeted composition comprising interferon associated with a lipid construct comprising amphipathic lipid mols. and receptor binding mol. The composition can comprise a mixture of free interferon and interferon associated with the complex. The composition can be modified to protect interferon and the complex from degradation. The invention also includes methods for the manufacture of the composition and loading interferon.

into the composition and recycling various components of the composition and methods

of treating individuals infected with the hepatitis C and other hepatitis viruses. For example, a lipid construct containing interferon $\boldsymbol{\alpha}$ was prepared from a mixture of the amphipathic lipids and an extended amphipathic lipid, i.e., 2-distearoyl-sn-glycero-3-phosphocholine, cholesterol, dicetyl phosphate, 1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(Cap Biotinyl), 1,2-distearoyl-sn-glycero-3-phosphoethanolamine, ${\tt 1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(succinyl)} \ \ {\tt and} \ \ {\tt 1,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(succinyl)} \ \ {\tt 2,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(succinyl)} \ \ {\tt 2,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(succinyl)} \ \ {\tt 3,2-dipalmitoyl-sn-glycero-3-phosphoethanolamine-N-(succinyl)} \ \ {\tt$ 1,2-dipalmitoyl-sn-glycero-3-[phospho-rac-(1-glycerol)] (sodium salt). The efficacy of the lipid construct, i.e., a hepatic directed vehicle (HDV) interferon α (100 μg HDV + 10 μg interferon α) was evaluated in a mouse model. The interferon-stimulated response of the induction of the double stranded RNA dependent protein kinase (PKR) gene was used as a marker of interferon hepatic tissue delivery. Interferon alone provided approx. a 5-fold increase in PKR activation relative to a baseline. HDV-interferon α provided approx. a 15-fold increase in

PKR activation relative to a baseline and approx. a 3-fold increase relative to interferon alone. Thus, interferon activity in the hepatic tissue was enhanced by delivering the interferon with HDV.

IT 150525-42-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (lipid constructs for interferon targeting to hepatocyte receptors and treatment of hepatitis)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:681369 CAPLUS

DN 145:146029

TI Preparation of peptide-containing compounds for targeting cells expressing NP-1 receptor

IN Von Wronski, Mathew A.; Marinelli, Edmund R.; Nunn, Adrian D.; Pillai, Radhakrishna; Ramalingam, Kondareddiar; Tweedle, Michael F.; Linder, Karen E.; Nanjappan, Palaniappa; Raju, Natarajan

PA Bracco International B.V., Neth.

SO U.S. Pat. Appl. Publ., 98 pp., Cont.-in-part of Ser. No. US 2001-871974, CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

17111.	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2006153775	A1	20060713	US 2006-342050	20060127
	US 2002147136	A1	20021010	US 2001-871974	20010604
	US 7109167	В2	20060919		
PRAI	US 2000-585364	В2	20000602	•	
	US 2001-871974	A2	20010604		
~ ~	WEEDER 145 146000				

OS MARPAT 145:146029

The invention provides compds. for targeting endothelial cells, tumor AB cells or other cells that express the neuropilin-1 (NP-1) receptor, compns. containing the same and methods for their use. The compds. are of the formula A-L-B (A = a monomer, multimer or polymer of TKPPR or analog which specifically binds to NP-1 or cells expressing NP-1 with avidity equal or greater than TKPPR; L = a lipid or a non-lipid (e.g., polymer) linker; B = a substrate). Addnl., the present invention includes diagnostic, therapeutic and radio-therapeutic compns. useful for visualization, therapy or radiotherapy. For example, 'DPPE-glutaroyl-Gly-Thr-Lys-Pro-Pro-Arg-OH (DPPE-Glu-GTKPPR) was prepared and formulated into gas-filled microbubble compns. for ultrasonic echog. The bubbles bind to human aortic endothelial cells (HAEC) under flow. The number of bubbles bound may increase with time for several minutes at a given flow rate, up to a flow rate producing 1.53 dynes/cm2, while bubbles without the targeting moiety (DPPE-Glu-GTKPPR) may not bind. However, once bound under a lesser flow rate (e.g., 1.53 dynes/cm2), the shear stress on bubbles containing DPPE-Glu-GTKPPR may be increased to 6.1 dynes/cm2 without dislodging many

of the bound bubbles.

IT 150525-42-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide-containing compds. and compns. for targeting cells expressing neuropilin-1 receptor for diagnosis, imaging, and therapy)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:492463 CAPLUS

DN 143:13409

TI Phospholipid membranes having allergen or antibodies on the surface

IN Uchida, Tetsuya; Mori, Masato

PA NOF Corporation, Japan; National Institute Infectious Diseases

SO Jpn. Kokai Tokkyo Koho, 28 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 2005145959	А	20050609	JP 2004-305217	20041020		
	US 2005266066	A1	20051201	US 2004-969543	20041020		
PRAT	JP 2003-360047	Δ	20031020				

AB The invention relates to a phospholipid membrane consisting of C10-12 acyl or hydrocarbon group-containing phospholipids and a phospholipid membrane stabilizer, wherein the phospholipid has antibody or allergen on the surface. The antibody or allergen-bound phospholipid membrane is suitable for use in a liposome for hyposensitization therapy. Liposome was prepared from didodecanoylphosphatidylcholine, didodecanoylphosphatidylethanolamine, cholesterol, and didodecanoylphosphatidylserine sodium salt, and treated with ovalbumin to obtain ovalbumin-immobilized liposome. The obtained ovalbumin-immobilized liposome induced IgG in a mouse at a ratio IgE/IgG ≤ 0.001.

IT 852462-67-0P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phospholipid membranes having allergen or antibodies on the surface suitable for use in liposomes)

RN 852462-67-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatetracosanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxodecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

```
L10
     ANSWER 6 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     2005:453648 CAPLUS
DN
     143:13245
TΤ
     Remote detection of substance delivery to cells
IN
     Drummond, Daryl C.; Hong, Keelung; Kirpotin, Dmitri B.
PA
     USA
SO
     U.S. Pat. Appl. Publ., 31 pp.
     CODEN: USXXCO
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
PΙ
                          Α1
                                20050526
                                            US 2004-888794
                                                                    20040709
     US 2005112065
                          Α1
                                            AU 2004-264857
                                                                    20040709
     AU 2004264857
                                20050224
                          A1
                                            WO 2004-US22133
     WO 2005016141
                                20050224
                                                                    20040709
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRAI US 2003-486080P
                          P
                                20030709
     WO 2004-US22133
                          W
                                20040709
ΑB
     The present invention provides for the development of endocytosis-
     sensitive probes, and a remote method for measuring cellular endocytosis.
     These probes are based on the reduced water permeability of a nanoparticle
     or liposomal delivery system, and inherent degradability or disruption of
     barrier integrity upon endocytosis. The invention also provides for
     liposomes having combined therapeutic and diagnostic utilities by
     co-encapsulating ionically coupled diagnostic and therapeutic agents, in
     one embodiment, by a method using anionic chelators to prepare electrochem.
     gradients for loading of amphipathic therapeutic bases into liposomes
     already encapsulating an imaging agent. The invention provides for
     imaging of therapeutic liposomes by inserting a lipopolymer anchored,
     remotely sensing reporter mols. into liposomal lipid layer. The invention
     allows for an integrated delivery system capable of imaging mol.
     fingerprints in diseased tissues, treatment, and treatment monitoring.
TΤ
     248253-94-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
        (liposomal delivery systems as diagnostic and therapeutic agents)
RN
     248253-94-3 CAPLUS
     8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-
     12-[(1-oxooctadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)
```

Me- (CH2)8-C-O-CH2

0

- C-

Absolute stereochemistry.

(CH₂)₈ - Me

· C÷

L10 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:861949 CAPLUS

DN 142:303393

TI Enhancement of the Efficacy of An Antagonist of an Extracellular Receptor by Attachment to the Surface of a Biocompatible Carrier

AU Wartchow, Charles A.; Alters, Susan E.; Garzone, Pamela D.; Li, Lingyun; Choi, Steven; DeChene, Neal E.; Doede, Tina; Huang, Linong; Pease, John S.; Shen, Zhimin; Knox, Susan J.; Cleland, Jeffrey L.

CS Targesome Inc., Palo Alto, CA, 94303, USA

SO Pharmaceutical Research (2004), 21(10), 1880-1885 CODEN: PHREEB; ISSN: 0724-8741

PB Springer Science+Business Media, Inc.

DT Journal

LA English

In order to improve the in vitro and in vivo efficacy of an integrin AB antagonist (IA) of the extracellular domain of the $\alpha v\beta 3$ integrin, a receptor upregulated on tumor neovasculature, the IA was attached to the surface of a dextran-coated liposome (DCL). IA-DCLs were characterized in vitro, and the pharmacokinetic and anti-tumor properties were assessed in vivo. The in vitro binding properties were measured with purified integrin, endothelial cells, and melanoma cells. The pharmacokinetic parameters were measured in healthy mice with 14C-labeled IA-DCLs and anti-tumor efficacy was assessed with the M21 human melanoma xenograft mouse model. In vitro, IC50 values for IA-DCLs and IA are similar, and IA-DCLs inhibit cell proliferation relative to controls. IA-DCLs are stable in serum, and the pharmacokinetic half-life in mice is 23 h. In the M21/mouse model, statistically significant inhibition of tumor growth was observed for mice treated with IA-DCLs, whereas controls including saline, DCLs lacking IA, and cyclo(RGDfV) were ineffective. Increased apoptosis and a reduction in vessel counts relative to controls were present in tumors from animals treated with IA-DCLs. These results demonstrate that IA-DCLs are potent anti-angiogenic therapeutic agents with superior in vivo activity and pharmacol. compared to unmodified IA. ΙT 88848-80-0

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(enhancement of efficacy of an antagonist of an extracellular receptor by attachment to the surface of a biocompatible carrier)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

```
Me^{-(CH_2)_{14}-C-O-CH_2}
HO_2C-CH_2-CH_2-
                                            CH-O-C-(CH_2)_{14}-Me
              THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 27
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 8 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN
L10
     2004:799592 CAPLUS
ΑN
DN
    ·141:320053
TI
     Phospholipid derivatives for liposome compositions
     Itoh, Chika; Ohhashi, Syunsuke; Kubo, Kazuhiro; Yasukohchi, Tohru;
     Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi
     NOF Corporation, Japan; Daiichi Pharmaceutical Co. Ltd.
PA
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
     _____
                          ----
PΙ
     WO 2004083219
                          Α1
                                 20040930
                                             WO 2004-JP3789
                                                                     20040319
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     US 2007031481
                          A1
                                 20070208
                                             US 2006-549630
                                                                     20060817
PRAI JP 2003-77242
                                 20030320
                          Α
     WO 2004-JP3789
                                 20040319
AB
     A phospholipid derivative represented by the formula
     R1COCH2CH (OCR2) CH2OP (OX) O2CH2CH2NHCO (CH2) a (CO) bO (A1O) m (A2O) n (A3O) qR3
     (R1CO, R2CO = acyl; R3 = H, hydrocarbon; a = 0-4; b = 0-1, provided that
     when a is 0, then b is 0; X = H, alkali metal, ammonium, organic ammonium;
     A10, A20, and A30 = oxyalkylene, provided that the proportion of
     oxyethylene in A1O and A3O is 0.5 or higher by weight; and m, n, and q each
     indicates the average number of moles added, provided that 5 \le m \le
     600, 1 \le n \le 45, 0 \le q \le 200, 10 \le m +
     n + q \le 600, 0.04 \le n/(m + n + q), and q/(m + n + q)
             The derivative, on the surface of a liposome, is inhibited
     \leq 0.8).
     from spreading its polyalkylene oxide structure and thus serves to
     increase the amount of the hydrated layer on the surface and thereby
     heighten the stability of the liposome. A phospholipid compound monomethyl
```

IT 766509-39-1P, Monomethyl polyoxypropylene-polyoxyethylene
succinyldistearoylphosphatidylethanolamine
RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic
use); BIOL (Biological study); PREP (Preparation); USES (Uses)

polyoxypropylene-polyoxyethylenesuccinyl distearoylphosphatidylethanolamin e was prepared The phospholipid 1.04 mM was mixed with hydrogenated soybean

phosphatidylcholine (HSPC) 11.28 cholesterol 7.68 mM, and doxorubicin solution q.s. to form a liposome with an average particle size of 95 nm.

(phospholipid derivs. for liposome compns.)

RN 766509-39-1 CAPLUS

CN Oxirane, methyl-, polymer with oxirane, mono[9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-8,10,14-trioxa-5-aza-9-phosphadotriacontanoate], methyl ether (9CI) (CA INDEX NAME)

CM 1

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 67-56-1 CMF C H4 O

нзс-он

CM 3

CRN 9003-11-6

CMF (C3 H6 O . C2 H4 O) \times

CCI PMS

CM, 4

CRN 75-56-9 CMF C3 H6 O



CM 5

CRN 75-21-8 CMF C2 H4 O



```
2004:681677 CAPLUS
ΑN
     141:212755
DN
     Liposomes containing an integrin antagonist as targeting molecule
TΙ
     Alters, Susan E.; Cleland, Jeffrey Lynn; Garzone, Pamela C.; Pease, John
ΙN
     S.; Wartchow, Charles Aaron
PA
     Targesome Inc., USA
SO
     PCT Int. Appl., 82 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                         KIND
                                DATE
     PATENT NO.
                                             APPLICATION NO.
                                                                    DATE
     ______
     WO 2004070009
PΙ
                          A2
                                 20040819
                                             WO 2004-US2816
                                                                     20040202
                          Α3
                                20050407
     WO 2004070009
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
             GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2003-443954P
                          Ρ
                                 20030131
                          Ρ
     US 2003-458709P
                                20030328
                          Р
                                20030416
     US 2003-463581P
AB
     Targeted macromols. comprising a stabilizing agent-coated liposome and
     more than one targeting entity, i.e., an integrin antagonist, are
     provided, as well as methods for their preparation and use. The stabilizing
     agent is selected from polymers, biopolymers, proteins, etc., e.g.,
     dextran or modified dextran. For example, antitumor efficacy of liposomes
     containing an \alpha V\beta 3-integrin antagonist 4-[2-(3,4,5,6-
     tetrahydropyrimidin-2-ylamino)ethyloxy|benzoyl-2-(S)-
     aminoethylsulfonylamino-\beta-alanine (IA, preparation given) as targeting
     moiety and coated with amine-modified dextran was evaluated in vivo in the
     U251 orthotopic glioma model in nude mice. Treatment with IA-containing
     dextran-coated liposomes (IA-DCL) significantly reduced tumor growth when
     compared to treatment with saline alone. The percentage of human tumor
     cells, as assessed by staining with an antibody to HLA class I, was
     reduced from 6.8% in control mice down to 3% following IA-DCL treatment, a
     reduction of approx. 50%. The IA-DCL binds to \alpha V\beta 3-integrin,
     located on the surface of endothelial cells, blocking cell adhesion and
     migration and also causing apoptosis.
ΙT
     150525-42-1
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (stabilizing agent-coated liposomes containing integrin antagonist as
        targeting moiety)
RN
     150525-42-1 CAPLUS
     8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-
CN-
```

Absolute stereochemistry.

[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

```
L10 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN
     2004:589555 CAPLUS
DN
     141:142211
     Phospholipid derivatives used for surfactants, solubilizers, dispersants
ΤI
     in cosmetics and lipid membranes and their preparation
     Kubo, Kazuhiro; Itoh, Chika; Ohhashi, Syunsuke; Yasukohchi, Tohru; Ohkawa,
IN
     Yusuke; Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi
PA
     NOF Corporation, Japan; Daiichi Pharmaceutical Co., Ltd.
SO
     PCT Int. Appl., 52 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
                                              APPLICATION NO.
     PATENT NO.
                          KIND
                                  DATE
                                 .20040722
                                              WO 2003-JP15969
PΙ
     WO 2004060899
                           Α1
                                                                       20031212
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
     CA 2513144
                                  20040722
                                              CA 2003-2513144
                           Α1
                                                                       20031212
     AU 2003289070
                           Α1
                                  20040729
                                              AU 2003-289070
                                                                       20031212
     EP 1591447
                           A1
                                  20051102
                                              EP 2003-778894
                                                                       20031212
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                         · A
                                              CN 2003-80108368
     CN 1735624
                                  20060215
                                                                       20031212
                           Α1
                                              US 2005-541309
     US 2006210618
                                  20060921
                                                                       20050705
PRAI JP 2003-330
                           Α
                                  20030106
                           W
     WO 2003-JP15969
                                  20031212
GΙ
```

The phospholipid derivs. I ([PG]k = residue of a polyglycerol having d.p. k; k = 2-50; R1CO, R2CO = C8-22 acyl; a = 0-5; b = 0-1; M = H, alkali metal, ammonium or organic ammonium; and k1, k2, k3 = nos. satisfying the relationships: $1 \le k1 \le (k + 2)/2$, $0 \le k2$, and k1 + k2 + k3 = k + 2). The derivs. are highly safe for the living body and can be favorably utilized in drug delivery systems such as liposome. IT 725724-27-6P 725724-29-8P 725724-33-4P

Ι

RL: BUU (Biological use, unclassified); COS (Cosmetic use); IMF (Industrial manufacture); TEM (Technical or engineered material use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phospholipid derivs. used for surfactants, solubilizers, dispersants in cosmetics and lipid membranes)

RN 725724-27-6 CAPLUS

8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide, ester with octaglycerol (9CI) (CA INDEX NAME)

CM 1

CN

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 70103-30-9 CMF C24 H50 O17 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 725724-29-8 CAPLUS

CN 1,2,3-Propanetriol, homopolymer, mono[9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-8,10,14-trioxa-5-aza-9-phosphadotriacontanoate] (9CI) (CA INDEX NAME)

CM 1

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 25618-55-7 CMF (C3 H8 O3) x CCI PMS

CRN 56-81-5 CMF C3 H8 O3

 $\begin{array}{c} \text{OH} : \\ \\ \text{HO-} \text{ CH}_2\text{--} \text{ CH-} \text{ CH}_2\text{--} \text{ OH} \end{array}$

RN 725724-33-4 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide, ester with hexaglycerol (9CI) (CA INDEX NAME)

CM 1

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 36675-34-0 CMF C18 H38 O13 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 161693-70-5P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phospholipid derivs. used for surfactants, solubilizers, dispersants in cosmetics and lipid membranes)

RN 161693-70-5 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

```
2003:796716 CAPLUS
ΑN
DN
     139:296564
     Phospholipid derivatives for cosmetic and pharmaceutical uses
ΤI
     Itoh, Chika; Kubo, Kazuhiro; Ohhashi, Syunsuke; Yasukohchi, Tohru;
IN
     Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi
     NOF Corporation, Japan; Daiichi Pharmaceutical Co., Ltd.
PΑ
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
DT
     Patent
     Japanese
LA
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                                20031009
                                            WO 2003-JP3966
                                                                    20030328
PΙ
     WO 2003082882
                          A1
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20031013
                                            AU 2003-220917
     AU 2003220917
                          Α1
                                                                    20030328
                                            EP 2003-715589
     EP 1498420
                          Α1
                                20050119
                                                                    20030328
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     US 2005220856
                          Α1
                                20051006
                                            US 2005-508704
                                                                    20050525
PRAI JP 2002-93694
                          Α
                                20020329
     WO 2003-JP3966
                          W
                                20030328
     Disclosed is a phospholipid derivative which is highly safe for the living
AB
     body and is suitable for use in solubilizing or dispersing a physiol.
     active substance, etc. or in the field of drug delivery systems, e.g., a
     liposome, or cosmetics. The phospholipids comprise polyalkylene oxide
     groups. For example, polyoxyethylene pentaerythritol ether
     glutaryl-mono(distearoylphosphatidylethanolamine succinate) was prepared and
     used as a solubilizer in formulating lotions.
     609816-64-0P, Polyoxyethylene hexaglycerol ether-
IT
     mono(distearoylphosphatidylethanolamine succinate) 609816-65-1P,
     Polyoxyethylene hexaglycerol ether glutaryl-mono(distearoylphosphatidyleth
     anolamine succinate) 609844-38-4P
     RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic
     use); BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of phospholipid derivs. for cosmetic and pharmaceutical uses)
RN
     609816-64-0 CAPLUS
     Poly(oxy-1,2-ethanediyl), \alpha-hydro-\omega-hydroxy-, ether with
CN
     hexaglycerol, 9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-
     8,10,14-trioxa-5-aza-9-phosphadotriacontanoate (9CI) (CA INDEX NAME)
     CM
          1
          161693-70-5
     CRN
     CMF
          C45 H86 N O11 P
```

CRN 36675-34-0 CMF C18 H38 O13 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 3

CRN 25322-68-3 CMF (C2 H4 O)n H2 O CCI PMS

RN 609816-65-1 CAPLUS Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy-, ether with hexaglycerol, 9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-8,10,14-trioxa-5-aza-9-phosphadotriacontanoate pentanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 36675-34-0 CMF C18 H38 O13 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CRN 25322-68-3

CMF (C2 H4 O)n H2 O

CCI PMS

$$HO \longrightarrow CH_2 - CH_2 - O \longrightarrow n$$

CM 4

CRN 110-94-1 CMF C5 H8 O4

 $HO_2C-(CH_2)_3-CO_2H$

RN 609844-38-4 CAPLUS

CN Poly(oxy-1,2-ethanediyl), $\alpha,\alpha',\alpha''-1,2,3-$ propanetriyltris[ω -hydroxy-, mono(9-hydroxy-9-oxido-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-8,10,14-trioxa-5-aza-9-phosphadotriacontanoate) (9CI) (CA INDEX NAME)

CM 1

CRN 161693-70-5 CMF C45 H86 N O11 P

CM 2

CRN 31694-55-0

CMF (C2 H4 O)n (C2 H4 O)n (C2 H4 O)n C3 H8 O3

CCI PMS

$$CH_2$$
 $O-CH_2-CH_2$ $O-CH_2$ O

IT 161693-70-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of phospholipid derivs. for cosmetic and pharmaceutical uses)

RN 161693-70-5 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:532140 CAPLUS

DN 139:106450

TI Targeted multivalent macromolecules

IN Wartchow, Charles Aaron; Dechene, Neal Edward; Pease, John S.; Shen, Zhimin; Trulson, Julie; Bednarski, Mark David; Danthi, S. Narasimhan; Zhang, Michael; Choi, Hoyul Steven

PA Targesome, Inc., USA

SO U.S. Pat. Appl. Publ., 71 pp., Cont.-in-part of U.S. Ser. No. 976,254. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 9

PAN.	UNI 9				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2003129223	A1	20030710	US 2002-158777	20020530
	US 2002071843 ·	A1	20020613	US 2001-976254	20011011
	ZA 2003009924	Α	20050622	ZA 2003-9924	20031222
	US 2006188560	A1	20060824	US 2006-396743	20060403
PRAI	US 2000-239684P	P	20001011		
	US 2001-294309P	P	20010530		
	US 2001-309104P	Ρ.	20010731		
	US 2001-312435P	P	20010815		
	US 2001-976254	A2	20011011		
	US 2001-345891P	P	20011029		
	US 2002-158761	A3	20020530		

Targeted therapeutic agents, comprising a linking carrier, a therapeutic AΒ entity associated with the linking carrier, and at least one targeting entity are provided, as well as methods for their preparation and use. A targeted therapeutic agent is selected from matrix metalloprotease inhibitors, analgesics, aggrecanase inhibitors, alkylating agents, topoisomerase inhibitors, estrogens, androgens, interferons, intercalating agents, kinase modulators, etc. The linking carrier comprises a phosphatidylcholine and is selected from liposomes and a polymerized vesicle. A targeting entity targets a lipid construct to a target selected from a cell surface target, an intracellular target, and an extracellular matrix component. The targeting entity has, e.g., a vascular or tumor cell target selected from chemokine receptors, matrix metalloproteases, integrins, or prostate-specific membrane antigens. For example, integrin-targeted 90Y-labeled peptidomimetic vesicle complexes (IA-NP-Y90) at 5 μ Ci/g reduced tumor growth in a melanoma mouse model with average normalized tumor volume less than half the volume in the buffer-treated animals. In addition, the average tumor volume quadrupling time (TVQT) for

tumor

treated with IA-NP-Y90 was 15.0 days compared to 6.4 days for tumors treated with buffer.

IT 88848-80-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of targeted multivalent macromols. for therapy, imaging and diagnosis of cancer)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:778699 CAPLUS

DN 137:299916

TI Peptide-containing compounds for targeting cells expressing NP-1 receptor

IN Von Wronski, Mathew A.; Marinelli, Edmund R.; Nunn, Adrian D.; Pillai, Radhakrishna; Ramalingam, Kondareddiar; Tweedle, Michael F.; Linder, Karen; Nanjappan, Palaniappa; Raju, Natarajan

PA USA

SO U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S. Ser. No. 585,364. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

22111	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2002147136	7.1	20021010	US 2001-871974	20010604
PI	US 7109167	A1 B2	20021010	05 2001-871974	20010604
	US 2006153775	A1	20060713	US 2006-342050	20060127
	US 2006258566 .	A1	20061116	US 2006-381884	20060505
	US 2006263303	A1	20061123	US 2006-381908	20060505
PRAI	US 2000-585364	A2 .	20000602	•	
	US 2001-871974	A2	20010604		•
~ ~	MADDAM 107 000016				

OS MARPAT 137:299916

AΒ The present invention provides compds. for targeting endothelial cells, tumor cells or other cells that express the neuropilin-1 (NP-1) receptor, compns. containing the same and methods for their use. The compds. are of the formula A-L-B (A = a monomer, multimer or polymer of TKPPR or analog which specifically binds to NP-1 or cells expressing NP-1 with avidity equal or greater than TKPPR; L = a lipid or a non-lipid (e.g., polymer) linker; B = a substrate). Addnl., the present invention includes diagnostic, therapeutic and radiotherapeutic compns. useful for visualization, therapy or radiotherapy. For example, DPPE-glutaroyl-Gly-Thr-Lys-Pro-Pro-Arg-OH (DPPE-Glu-GTKPPR) was prepared and formulated into gas-filled microbubble compns. for ultrasonic echog. The bubbles bind to human aortic endothelial cells (HAEC) under flow. The number of bubbles bound may increase with time for several minutes at a given flow rate, up to a flow rate producing 1.53 dynes/cm2, while bubbles without the targeting moiety (DPPE-Glu-GTKPPR) may not bind. However, once bound under a lesser flow rate (e.g., 1.53 dynes/cm2), the shear stress on bubbles containing DPPE-Glu-GTKPPR may be increased to 6.1 dynes/cm2 without dislodging many of the bound bubbles.

IT 150525-42-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of peptide-containing compds. and compns. for targeting cells expressing neuropilin-1 receptor for diagnosis, imaging, and therapy)

RN 150525-42-1 CAPLUS

8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-CN [(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 45 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 14 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

2002:353313 CAPLUS ΑN

136:355484 DN

Novel targeted compositions for diagnostic and therapeutic use TΙ

Unger, Evan C.; Matsunaga, Terry O.; Schumann, Patricia A. IN

PA ImaRx Therapeutics, Inc., USA

SO PCT Int. Appl., 206 pp.

CODEN: PIXXD2

DΤ Patent

LA		glish																·	
FAN.	CNT	10																	
	PA:	ΓΈΝΤ	NO.			KINI)	DATE			API	5LI	CAT	ION	.00		DATÉ		
							-									-			
PΙ	WO	2002	0361	61		A2 20020510			WO 2001-US32308						2	0011	017		
	WO 2002036161			A3		20030925													
		₩:	ΑU,	CA,	JΡ				•							-			
		RW:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FF	₹,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
			PT,	SE,	TR													•	
	CA	2428	606			A1		2002	0510		CA	20	01-	2428	606		2	0011	017
	ΑU	2002	1328	5 ·		Α		20020515			ΑU	20	02-	1328	5		2	0011	017
	ΕP	1365	805			A2		2003	1203		EP 2001-981655			20011017		017			
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	٦,	FT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	FI,	CY,	TR										•			
	JΡ	2005	5002	45		T		2005	0106		JΡ	20	02-	5389	70		2	0011	017
PRAI	US	2000	-699	679		Α		2000	1030						•				
	WO	2001	-US3	2308		W		2001	1017										•
os	MARPAT 136:355484																		

Novel targeted compns. which may be used for diagnostic and therapeutic AΒ use may comprise lipid, protein or polymer gas-filled vesicles which further comprise novel compds. of formula L-P-T, where L is a hydrophobic compound, P is a hydrophilic polymer, and T is a targeting ligand which targets tissues, cells or receptors, including myocardial cells, endothelial cells, epithelial cells, tumor cells and the glycoprotein GPIIbIIIa receptor. Compds. R1R2N-R3-CH(NR4R5)-R6-X1-P-R7-X2-T [X1, X2 is a direct bond or a linking atom or group; R1, R4 = C7-23 acyl; R2, R5 = H or lower alkyl; R3, R6, R7 = a direct bond or C1-10 alkylene; same P and T] are claimed. The compns. can be used in conjunction with diagnostic imaging, such as ultrasound, as well as therapeutic applications, such as therapeutic ultrasound. Examples include the preparation of

N,N'-bis(hexadecylaminocarbonylmethyl)-N,N'-bis[β - (trimethylammonio)ethylaminocarbonylmethyl]-N,N'-dimethylethylenediamine tetraiodide and N-(1,2-dipalmitoyl-sn-glycero-3-succinyl)-PEG-protein A conjugate. Videodensitometric anal. of targeted vesicles-ultrasound backscatter quantitation is shown in a table.

IT 150525-42-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(targeted compns. for diagnostic and therapeutic use)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2007 ACS, on STN

AN 2001:704741 CAPLUS

DN 135:273165

TI Method for preparation of phosphatidylethanolamine derivatives by amidation of diacylphosphatidylethanolamine with dicarboxylic anhydride

IN Maekawa, Naoya; Oda, Hiroshi; Matsuyoshi, Shigeru

PA NOF Corporation, Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp. .

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001261688	A	20010926	JP 2000-79696	20000322
PRAT	JP 2000-79696		20000322		

OS CASREACT 135:273165; MARPAT 135:273165

AB The title compds. represented by formula R1OCH2CH(OR2)CH2OP(O)(OM1)OCH2CH2 NHCO(CH2)nCO2M2 (R1, R2 = aliphatic acyl; M1, M2 = H, alkali or alkaline earth metal, ammonium; n = an integer of 1-14) are prepared by reaction of diacylphosphatidylethanolamine and dicarboxylic anhydride using an organic solvent not having an active hydrogen, mixing the reaction mixture with a buffer solution (pH 3.5-7.5), separating the organic phase, and removing the organic

solvent from the organic phase. This process gives N-acyldiacylphosphatidylethanolamines (glycerophospholipids) of high purity (≥97%) in high yields (≥90%) and is industrially advantageous since it does not require complicated procedures such as silica gel chromatog. Thus, 10 g dioleoylphosphatidylethanolamine (≥99.5% purity) and 1.66 g Et3N were dissolved in 200 mL CHCl3, treated with 2.2. g glutaric anhydride, stirred at 4° for 1 h, and mixed with 0.5 M AcONa/AcOH buffer (pH 6). The reaction mixture was transferred to a separatory funnel and left to stand for 1 h and the bottom layer was separated The bottom layer was separated The organic

solvent was distilled off and the residue was dispersed in water for

injection and freeze-dried to give 11.6 g 1,2-dioleoyl-sn-glycero-3-phospho-O-(N-glutaryl)ethanolamine sodium salt (99.7% purity) in 97.8% yield.

IT 362685-26-5P

RL: BUU (Biological use, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(method for preparation of phosphatidylethanolamine derivs. as glycerophospholipids by amidation of diacylphosphatidylethanolamine with dicarboxylic anhydride)

RN 362685-26-5 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, disodium salt, (12R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●2 Na

IT. 150525-42-1P 248253-94-3P

RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(method for preparation of phosphatidylethanolamine derivs. as glycerophospholipids by amidation of diacylphosphatidylethanolamine with dicarboxylic anhydride)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

RN 248253-94-3 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

```
ANSWER 16 OF 30 CAPLUS
                              COPYRIGHT 2007 ACS on STN
L10
     1999:708880 CAPLUS
AN
DN
     131:319884
TΙ
     Targetable encapsulated gas microbubbles for separation of target material
     from liquid samples and separation apparatus
     Cuthbertson, Alan; Rongved, Pal; Lovhaug, Dagfinn; Fjerdingstad, Hege;
IN
     Solbakken, Magne; Godal, Aslak
PA
     Nycomed Imaging As, Norway
     PCT Int. Appl., 54 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
     PATENT NO.
PΙ
     WO 9955837
                         A2
                                 19991104
                                             WO 1999-GB1317
                                                                     19990428
     WO 9955837
                          A3
                                 20000210
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2326386
                          A1
                                             CA 1999-2326386
                                                                     19990428
                                 19991104
     AU 9937197
                                 19991116
                                             AU 1999-37197
                                                                     19990428
                           Α
     EP 1073716
                           Α2
                                 20010207
                                             EP 1999-919396
                                                                   19990428
     EP 1073716
                          В1
                                 20040428
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                           Т
     JP 2002512886
                                 20020508
                                             JP 2000-545981
                                                                     19990428
     AT 265525
                           Т
                                 20040515
                                             AT 1999-919396
                                                                     19990428
     IN 2000MN00515
                          Α
                                 20050715
                                             IN 2000-MN515
                                                                     20001018
     NO 2000005383
                          Α
                                 20001213
                                             NO 2000-5383
                                                                     20001026
     US 2003104359
                          A1
                                 20030605
                                             US 2002-294598
                                                                     20021115
PRAI GB 1998-9083
                          Α
                                 19980428
```

US 2000-694893 B1 20001025

Separation of target material from a liquid sample is achieved by coupling the target to targetable encapsulated gas microbubbles, allowing the microbubbles and coupled target to float to the surface of the sample to form a floating microbubble/target layer, and separating this layer from the sample. In a pos. separation process the microbubbles are then removed from the target, e.g. by bursting. In a neg. separation process target-free sample material is recovered following separation of the floating layer. The method may also be used diagnostically to detect the presence of a disease marker in a sample. Novel separation apparatus is also described. Perfluorobutane

19980428

19980518

19980518

19990428

GB 1998-9085

US 1998-85819P

US 1998-85826P

WO 1999-GB1317

Α

Р

Ρ

W

microbubbles encapsulated with distearoylphosphatidylserine doped with Mal-PEG2000-distearoylphosphatidylethanolamine (DSPE) was prepared and reacted with thiolated anti-CD34 antibodies to make a reagent useful for separating CD34-pos. cells.

ΙT 248253-94-3

> RL: RCT (Reactant); RACT (Reactant or reagent) (targetable encapsulated gas microbubbles for separation of target material from liquid samples and separation apparatus)

RN 248253-94-3 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

COPYRIGHT 2007 ACS on STN L10 ANSWER 17 OF 30 CAPLUS

AN. 1999:220014 CAPLUS

130:249137 DN

TΙ Novel targeted ultrasound imaging contrast agents for diagnostic and therapeutic use

Unger, Evan C.; Fritz, Thomas A.; Gertz, Edward W. ΙN

ImarRx Pharmaceutical Corp., USA PA ·

SO PCT Int. Appl., 223 pp.

CODEN: PIXXD2

DTPatent

English LA

FAN.		.8 FENT	NO.			KIN	D	DATE			APP	LICAT	ION	NO.		D .'	ATE	
PI	WO	9913 W:	919 AU,	CA		A1	-	1999	0325		WO :	1998-	US18	858		1	9980	909
		RW:	AT, PT,	BE, SE	CH,	CÝ,	DE,	, DK,	ES,	FI,	FR	, GB,	GR,	IE,	ÌТ,	LU,	MC,	NL,
	US 6139819 AU 9893830					Α		2000	1031	•	US :	1997-	9322	73		1	9970	917
	ΑU	9893	830			Α		1999	0405		AU :	1998-	9383	0		` 1	9980	909
	EΡ	9599	08 .			A1		1999	1201		EP :	1998-	9469	19		1	9980	909
		R:	DE,	FR,	GB,	ΙT												
PRAI	US	1997	÷932	273		Α		1997	0917	•								
	US	1995	-497	684		В2		1995	0607				•					
	US	1996	-640	464		В2		1996	0501									
	US	1996	-660	032		В2		1996	0606									
	US	1996	-666	129		A2		1996	0619			•						
	WO,	1998	-US1	8858	•	W		1998	0909									

AB This invention describes novel contrast agents which may be used for diagnostic and therapeutic use. The compns. may comprise a lipid, a protein, polymer and/or surfactant, and a gas, in combination with a targeting ligand. In preferred embodiments, the targeting ligand targets coagula, including emboli and/or thrombi, particularly in patients suffering from an arrhythmic disorder. The contrast media can be used in conjunction with diagnostic imaging, such as ultrasound, as well as therapeutic applications, such as therapeutic ultrasound.

ΙT 150525-42-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(novel targeted ultrasound imaging contrast agents for diagnostic and therapeutic use)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:601246 CAPLUS

DN 127:298616

TI Enhancement of the in vivo circulation lifetime of $L-\alpha-$ distearoylphosphatidylcholine liposomes: importance of liposomal aggregation versus complement opsonization

AU Ahl, Patrick L.; Bhatia, Suresh K.; Meers, Paul; Roberts, Patricia; Stevens, Rachel; Dause, Richard; Perkins, Walter R.; Janoff, Andrew S.

CS The Liposome Company, Inc., Princeton Forrestal Center, One Research Way, Princeton, NJ, 08540-6619, USA

SO Biochimica et Biophysica Acta, Biomembranes (1997), 1329(2), 370-382 CODEN: BBBMBS; ISSN: 0005-2736

PB Elsevier B.V.

DT Journal

LA English

AΒ

Incorporation of $N-(\omega-carboxy)$ acylamido-phosphatidylethanolamines (-PEs) into large unilamellar vesicles (LUVs) of $L-\alpha$ distearoylphosphatidylcholine (DSPC) was found to dramatically increase the in vivo liposomal circulation lifetime in rats, reaching a maximal effect at 10 mol.% of the total phospholipid. Neither pure DSPC liposomes nor those with the longest circulating derivative, N-glutaryldipalmitoylphosphatidylethanolamine (-DPPE), were found to significantly bind complement from serum. Therefore, the relatively short circulation time of pure DSPC liposomes did not appear to be related to greater complement opsonization leading to uptake by the reticuloendothelial system. However, N-(ω-carboxy)acylamido-PEs were particularly efficient inhibitors of a limited aggregation detected for pure DSPC liposomes. The aggregation tendency of DSPC liposomes incorporating various structural analogs of N-glutaryl-DPPE correlated inversely with the circulation lifetimes. Therefore, it is concluded that such PE derivs. enhance the circulation time by preventing liposomal aggregation and avoiding a poorly understood mechanism of clearance that is dependent on size but is independent of complement opsonization. At high concns. of N-glutaryl-DPPE (above 10 mol.%), the liposomes exhibited strong complement opsonization and were cleared from circulation rapidly, as were other highly neg. charged liposomes. These data demonstrate that both the lack of opsonization and the lack of a tendency to aggregate are required for long circulation. Liposomal disaggregation via N-(ωcarboxy)acylamido-PEs yields a new class of large unilamellar DSPC liposomes with circulation lifetimes that are comparable to those of sterically stabilized liposomes.

IT 88848-80-0P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (liposomal aggregation vs. complement opsonization in enhancement of circulation lifetime of L- α -distearoylphosphatidylcholine liposomes)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:594622 CAPLUS

DN 127:253188

TI Phospholipid-ligand complexes for enhancing liposomal delivery system

IN Thompson, David H.; Low, Philip S.; Rui, Yuanjin; Wang, Susan

PA Purdue Research Foundation, USA; Thompson, David H.; Low, Philip S.; Rui, Yuanjin; Wang, Susan

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

FAN.	PATENT NO.																	
•	PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION 1	NO.		D.	ATE	
							-											
PΙ	WO	9731	624			· A1		1997	0904	1	WO 1	997~	US30	77		1	9970:	226
		W:	AL,	ΑM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	HU,	IL,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,
		LC, LK, LR				LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,
	PT, RO, RU			RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	
		VN, YU, AM,		AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM						
		RW:	GH,	KE,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,
			GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
	•		ML,	MR,	ΝE,	SN,	TD,	ΤG										
	AU 9723169					Α		1997	0916		AU 1	997-	2316	9		1	9970:	226
PRAI	US	1996	-123	53P		P	υ	1996	0227									
	WO 1997-US3077			Ŵ	:	1997	0226											
00	MAI	WO 199/-US3U// MADDAT 127.253100																

OS MARPAT 127:253188

AB An improved liposome and method for delivering an exogenous mol. to the cytoplasm of a cell is described. The liposomal membrane comprises triggerable lipids and lipids complexed to a ligand, wherein the ligand is capable of interacting with cellular membrane to enhance the uptake of the ligand and attached liposome. Diplasmenylcholine 13.6 mg was dissolved in 0.5 mL CHCl3 and 15 μ L folate-PEG-distearoylphophatidylethanolamine conjugate was added. The mixture was evaporated with a stream of dry N, then

lyophilization to give a thin film, which was hydrated with 1 mL of propidium iodide solution to disperse the lipid as multilamellar liposomes (MLV).

IT 161693-70-5P

by

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(phospholipid-ligand conjugates for enhancing liposomal delivery system)

RN 161693-70-5 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1995:352310 CAPLUS

DN 122:196706

TI Folate-mediated tumor cell targeting of liposome-entrapped doxorubicin in vitro

AU Lee, Robert J.; Low, Philip S.

CS Department of Chemistry, Purdue University, West Lafayette, IN, 47907, USA

SO Biochimica et Biophysica Acta, Biomembranes (1995), 1233(2), 134-44 CODEN: BBBMBS; ISSN: 0005-2736

PB Elsevier B.V.

DT Journal

LA English

Receptors for the vitamin folic acid are frequently overexpressed on AB epithelial cancer cells. To examine whether this overexpression might be exploited to specifically deliver liposome-encapsulated drug mols. in vitro, folate-targeted liposomes were prepared by incorporating 0.1 mol% of a folate-polyethylene glycol-distearoylphosphatidylethanolamine (folate-PEG-DSPE) construct into the lipid bilayer, and were loaded with doxorubicin (DOX), an anticancer drug. Uptake of folate-PEG-liposomal DOX by KB cells was 45-fold higher than that of non-targeted liposomal DOX, and 1.6-times higher than that of free DOX, while the cytotoxicity was 86 and 2.7-times higher, resp. Folate-targeting is fully compatible with PEG-coating of the liposomes, since incorporation of 4 mol% PEG2000-DSPE does not reduce the uptake or cytotoxicity of folate-PEG-liposomal DOX. Uptake of folate-PEG-liposomes was inhibited by 1 mM free folic acid but was unaffected by physiol. concns. of folate. In HeLa/WI38 co-cultures, folate-PEG-liposomes encapsulating calcein, a fluorescent dye, were found to be almost exclusively internalized by the HeLa cells which overexpress the folate receptors. Thus, it is suggested that folate targeting constitutes a possible mechanism for improving the specificity of PEG-coated liposomes for cancer cells.

IT 161693-70-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(folate-mediated targeting of doxorubicin encapsulated in PEG-coated liposomes)

RN 161693-70-5 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphadotriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxooctadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:650457 CAPLUS

DN 121:250457

TI Synthesis and Characterization of Chelator-Lipids for Reversible Immobilization of Engineered Proteins at Self-Assembled Lipid Interfaces

AU Schmitt, Lutz; Dietrich, Christian; Tampe, Robert

CS Physik Department, Technische Universitaet Muenchen, Garching, D-85747, Germany

SO Journal of the American Chemical Society (1994), 116(19), 8485-91 CODEN: JACSAT; ISSN: 0002-7863

DT Journal

LA English

OS CASREACT 121:250457

AΒ In mol. biol. and protein engineering, immobilized metal ion affinity chromatog. (IMAC) using a NTA-chelator is a very powerful technique in identification and isolation of oligo-histidine-tagged fusion proteins. This concept was transferred to the properties of self-assembling systems with the aim of reversible immobilization, orientation of biomols., and functionalization of lipid interfaces. Here are described the synthesis and the chemical and phys. characterization of such metal affinity lipids. The NTA-chelator was coupled either to a phospholipid, DPPE, or to a synthetic lipid, dioctadecylamine. Metal complex formation was investigated by TLC and FTIR techniques. Using film balance techniques the generation of metal sensitive lipid films is demonstrated. In the presence of Ni2+ drastic changes of the area-pressure isotherms were observed Furthermore, the specific ligand binding of imidazole as a model compound for oligo-histidine-tagged fusion proteins to these functionalized metal-lipid films was investigated.

IT 150525-42-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with (methylcarboxyl)aminoazaoctanecarboxylic acid)

RN 150525-42-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)

```
ΑN
     1993:603859 CAPLUS
DN
     119:203859
     Preparation of lipid conjugates of therapeutic peptides and protease
TI
     inhibitors
     Basava, Channa; Hostetler, Karl Y.
IN
     Vical, Inc., USA
PΑ
     PCT Int. Appl., 72 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                    DATE
                         ____
                          A1
                                19930204
                                            WO 1992-US6153
                                                                    19920722
PΙ
     WO 9301828
         W: AU, CA, JP
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE
                          Α .
                                19960910
                                            US 1991-734434
                                                                    19910723
     US 5554728
     CA 2113156
                          A1
                                19930204
                                             CA 1992-2113156
                                                                    19920722
     AU 9224251
                          Α
                                19930223
                                            AU 1992-24251
                                                                    19920722
     AU 671078
                          В2
                                19960815
     EP 596024
                          A1
                                19940511
                                            EP 1992-917096
                                                                    19920722
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE
     JP 07501316
                                                                    19920722
                          Т
                                19950209
                                            JP 1992-503064
     US 5804552
                          Α
                                19980908
                                            US 1995-458401
                                                                    19950602
                       . А
PRAI US 1991-734434
                                19910723
                                19920722
     WO 1992-US6153
                         Α
     MARPAT 119:203859
OS
     Title compds., comprising therapeutic peptides, including human
AB
     immunodeficiency virus (HIV) protease inhibitors covalently linked to
     phospholipids, glycerides, or other membrane-targeting and
     membrane-anchoring species, and their pharmaceutically acceptable salts,
     together with processes for their prepns., are described. The invention
     also provides novel HIV protease inhibitors. The prepared compds. possess
     useful pharmacol. properties, such as antiviral activity towards viral
     infection and inhibitory activity towards viral proteases. Therefore,
     these compds. can be used in the prophylaxis or treatment of viral
     infections, in particular infections caused by HIV or other retroviruses.
     The targeting technol. as described for the protease inhibitors is also
     applicable to a variety of inhibitors of other enzymes. Thus,
     R-Ala-Ala-D-\beta-Nal-Pip-OMe (I; R = Ac, \beta-Nal =
     \beta-naphthylalanine, Pip = pipecolic acid), prepared by standard solid-phase
     methods, had IC50 >100 \mu M in an antiviral assay, while
     dipalmitoylqlycerophosphatidylethanolamine conjugate I [R =
     (R) -Me (CH2) 14CO2CH [CH2O2C (CH2) 14Me] CH2OP (O) (OH) OCH2CH2NHCOCH2CH2CO],
     prepared via coupling of succinylated ethanolamine derivative ROH with the
     corresponding peptide, had IC50 = 10 \muM.
ΙŤ
     150525-42-1P 150525-43-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation and amidation reactions of, with peptides, in preparation of
lipid
        conjugate protease inhibitors)
RN
     150525-42-1 CAPLUS
     8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-
CN
     [(1-oxohexadecyl)oxy]-, 9-oxide, (12R)- (CA INDEX NAME)
```

RN 150525-43-2 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphaoctacosanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxotetradecyl)oxy]-, 9-oxide, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:249864 CAPLUS

DN 118:249864

TI Interbilayer transfer of phospholipid-anchored macromolecules via monomer diffusion

AU Silvius, John R.; Zuckermann, Martin J.

CS Dep. Biochem., McGill Univ., Montreal, QC, H3G 1Y6, Can.

SO Biochemistry (1993), 32(12), 3153-61 CODEN: BICHAW; ISSN: 0006-2960

DT Journal

LA English

AB A series of conjugates was prepared by linking various hydrophilic macromols. [poly(ethylene glycols), polylysine, aminodextrans, or apotransferrin] to synthetic phosphatidylethanolamines via linker moieties incorporating a fluorescent bimane group. Using a fluorescence energy transfer-based assay, the rate of transfer of these species between phospholipid vesicles was monitored as a function of the nature and size of the coupled macromol. and of the acyl chain composition of the lipid anchor. Conjugates in which the phospholipid anchor is linked to a small hydrophilic terminal residue (e.g., ethanolamine or ethylenediamine) transfer between large unilamellar vesicles of egg phosphatidylcholine with half-times ranging from tens of minutes (for dimyristoyl lipid conjugates) to a few tens of hours (for dipalmitoyl and 1-palmitoyl-2-oleoyl lipid conjugates), in agreement with.previous results for unlabeled phospholipids. Conjugation of these same lipid anchors to larger hydrophilic mols. markedly accelerates their rates of intermembrane transfer, by factors ranging from 5-7-fold (for conjugates with apotransferrin and aminodextrans of mol. weight 10,000-70,000) to over 25-fold [for conjugates with poly(ethylene glycol)-5000]. In all cases the observed transfer appears to reflect the diffusion of lipid monomers through the aqueous phase. These results suggest that substantial intermembrane transfer can occur, on a time scale of several hours or less, for hydrophilic macromols. conjugated to diacyl(/alkyl) lipids with 14- to 18-carbon chains unless portions of the conjugate other than the lipid anchors also interact strongly with the membrane.

IT 147793-19-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with amines and interbilayer transfer of)

RN 147793-19-9 CAPLUS

CN Tetradecanoic acid, 1-[10-carboxy-3-hydroxy-3-oxido-8-oxo-9(or 10)-[[(2,5,6-trimethyl-1,7-dioxo-1H,7H-pyrazolo[1,2-a]pyrazol-3-yl)thio]methyl]-2,4-dioxa-7-aza-3-phosphadec-1-yl]-1,2-ethanediyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1992:200949 CAPLUS

DN 116:200949

TI Influence of the galactosyl ligand structure on the interaction of galactosylated liposomes with mouse peritoneal macrophages

AU Haensler, Jean; Schuber, Francis

CS Fac. Pharm., Univ. Louis Pasteur, Illkirch, 67041, Fr.

SO Glycoconjugate Journal (1991), 8(2), 116-24 CODEN: GLJOEW; ISSN: 0282-0080

DT Journal

LA English

Liposomes bearing at their surface mono- and triantennary galactosyl AB ligands were prepared and their interaction with the galactose receptor of mouse peritoneal macrophages studied. Triantennary structures were synthesized by coupling derivs. of 1-thio- β -D-galactose to the amino groups of lysyl-lysine dipeptide. Galactosyl liposomes were obtained either by synthesis of neo-galactolipids followed by their incorporation into the vesicles or by neo-galactosylation of preformed liposomes by reaction between thiol-functionalized galactosyl ligands and vesicles bearing maleimido groups. The interaction of the galactosylated liposomes with the macrophage lectin was remarkably sensitive to the topol. of the ligands, i.e., a spacer-arm length about 3 nm was necessary and, in contrast to results obtained with the galactose receptor of other cells, the triantennary structure did not provide addnl. binding. Related to the strategy of drug delivery with targeted liposomes, these results indicate that lectins from different cells might possibly be distinguished by using multiantennary ligands having optimal geometries.

IT 88848-80-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (reaction of, with galactose derivs., interaction with peritoneal
 macrophages in liposomes in relation to)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1991:627813 CAPLUS

DN 115:227813

TI Carboxyacyl derivatives of phospholipid, and carbodiimide method for sensitizing liposome with antigen or antibody for liposome lysis immunoassay

IN Umeda, Mamoru; Kobayashi, Reiji

PA Nissui Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
					- ·
ΡI	JP 03073856	Α	19910328	JP 1989-209789	19890814
PRAI	JP 1989-209789		19890814		

AB Carboxyacyl derivs. of phospholipid are used to introduce antigen or antibody to the surface of liposome which encapsulates a hydrophilic label for liposome lysis immunoassay for diagnosing endocrine disease. With the liposome, the immunoassay is simple and sensitive, and is not influenced by complement interference, i.e. antigen-antibody complex formation-independent lysis. Thus, N-succinyl, glutamyl, adipoyl, pimeloyl, suberyl, sebacioyl, (11-carboxyimidecanoyl), and (13-carboxytridecanoyl) dipalmitoylphosphatidylethanolamine were prepared from dipalmitoyl phosphatidylethanolamine and succinic; glutaric; adipic; pimelic; suberic; sebacic; decadicarboxylic; and dodecanedicarboxylic anhydrides, and were used to link anti-C-reactive protein (CRP) IgG with liposome by adding ethyldimethylpropylaminocarbodiimide. The IgG sensitized liposome was then used for CRP determination in human blood.

IT 88848-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for sensitizing liposome with antigen or antibody via carbodiimide, for preventing complement interference in liposome lysis immunoassay)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAMÉ)

```
1.10
     ANSWER 26 OF 30 CAPLUS
                               COPYRIGHT 2007 ACS on STN
ΑN
     1990:154774 CAPLUS
DN
     112:154774
     Method for preparing phosphodiester conjugates useful for preparing
ΤT
     immunoactive liposomes
IN
     Law, Say Jong
     Ciba Corning Diagnostics Corp., USA
PA
SO
     Eur. Pat. Appl., 8 pp.
     CODEN: EPXXDW
DT
     Patent
LA
     English
FAN.CNT 1
                                              APPLICATION NO.
                                                                      DATE
     PATENT NO.
                                 DATE
                          KIND
     _____
                          ____
                           A2
                                              EP 1988-308254
                                                                      19880907
PΙ
     EP 307175
                                 19890315
     EP 307175
                           А3
                                 19900328
                           B1
                                 19950322
```

EP 307175 R: AT, BE, CH, DE, ES, FR, GB, IT, LI CA 1988-571365 19880707 CA 1340413 С 19990302 JP 1988-224362 19880907 JP 01107152 Α 19890425 JP 08007218 В 19960129 19880907. Т 19950415 AT 1988-308254 AT 120276 Т3 19950701 ES 1988-308254 19880907 ES 2071618

PRAI US 1987-94667 A 19870909

OS MARPAT 112:154774 A method for preparing a phosphodiester-ligand-analyte conjugate comprises AB reacting a polar phospholipid R1CH2CHR2CH2OP(:O)(OH)OR3 [R1, R2 = H, OH, R', OR', O2CR'; R' = C1-24 (un)saturated, (un)branched alkyl or alkylene; R3 = C1-24 (un)branched alkylamine] with a ligand (reactive at R3) and reacting this conjugate with an analyte (preferably thyroxine or triiodothyronine) through the ligand. The final conjugate can be used to form liposomes for use in immunoassays. Thus, phosphatidylethanolamine succinate (PE-Suc) was formed from the reaction of (1,2-dipalmitoyl-3-racphosphatidyl)ethanolamine 440 with succinic anhydride 76 mg and triethylamine 0.09 mL in 40 mL HCONMe2:CHCl3 (1:1) for 1.5 h at 65°. PE-Suc 402 mg in CHCl3 was then reacted with triethylamine 100 μL , followed by Et chlorformate 65 μL , L-thyroxine (T4) Na salt 406 mg, and DMF 10 mL at 0°. The PE-Suc-T4 conjugate was purified by TLC and 0.95 mg was combined in CHCl3 with Ldipalmitoylphosphatidylcholine 125, cholesterol 67.5, and L-dipalmitoylphosphatidylglycerol $11.5\ \mathrm{mg}$ to form a dry lipid film which was mixed with glucose-6-phosphate dehydrogenase (37.5 kilounits) in 2% glycerol to form liposomes which were used in an enzyme membrane immunoassay.

IT 88848-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, in liposome preparation for immunoassays)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1986:438652 CAPLUS

DN 105:38652

TI Use of phosphotriester synthetic methods for preparation of phosphatidylethanolamine-analyte conjugates

AU Law, Say Jong; Myles, Arthur

CS Dep. Mol. Biol. Immunol., Collaborative Res., Inc., Lexington, MA, 02173, USA

SO Tetrahedron Letters (1986), 27(3), 271-4 CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

Amphiphilic phosphatidylethanolamine conjugates of therapeutically or biol. active analytes were prepared by using a new phosphotriester synthetic approach and used for functionalization of liposomes. Protected phosphatidylethanolamine phosphotriester intermediates were prepared and directly coupled to penicillin G and indirectly coupled via a succinamide ligand, to L-thyroxine. The deprotected conjugates were used for preparation of analyte functionalized liposomes which form the basis of highly reproducible and sensitive assays for penicillin and thyroxine.

IT 94451-77-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction with thyroxine)

RN 94451-77-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-[2-(4-bromophenyl)-2-oxoethoxy]-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1985:58884 CAPLUS

DN 102:58884

TI Use of phosphotriester intermediates for preparation of functionalized liposomes

IN Myles, Arthur; Law, Say Jong; Cole, Frank X.

PA Collaborative Research, Inc., USA

SO U.S., 12 pp. CODEN: USXXAM

DT Patent LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4480041 PRAI US 1982-396678	Α	19841030 19820709	US 1982-396678	19820709

OS MARPAT 102:58884

Liposomes covalently labeled with analytes (e.g., antibiotics, drugs, AB hormones, other antigens) on their outer surfaces and containing entrapped enzymes are prepared for enzyme-membrane immunoassays (liposome immunoassays) by using phospholipids derivatized with the desired analyte (or with a ligand spacer and analyte) which are prepared via phosphotriester intermediates. int: the derivatized phospholipids can be prepared in multigram quantities and then incorporated into the liposomes. Natural or synthetic amphiphilic phospholipids, and especially phosphatidylethanolamines, may be used as starting materials. Thus, for the determination of T4, β , γ -dipalmitoyl-DL- α -phosphatidylethanolamine was protected with the BOC (tert-butoxycarbonyl) group, and the protected product was treated with α, p -dibromoacetophenone to form the triester N-tert-butoxycarbonyl- β , γ -dipalmitoyl-DL- α phosphatidylethanolamine 2-(4-bromophenyl)-2-oxoethyl ester. The latter compound was deprotected, and the deprotected product then was treated with succinic anhydride to form N-succinyl- β , γ -dipalmitoyl-DL- α -phosphatidylethanolamine 2-(4-bromophenyl)-2-oxoethyl) ester which was treated with L-T4 to give a conjugate. The conjugate, after removal of the 2-(4-bromophenyl)-2-oxoethyl group, gave the desired T4-derivatized phosphatidylethanolamine. The latter compound was used along with lecithin and cholesterol to prepare liposomes that were loaded with alkaline phosphatase and used for the determination of T4 by immunoassay.

IT 94451-77-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with thyroxine)

RN 94451-77-1 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-[2-(4-bromophenyl)-2-oxoethoxy]-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1984:83795 CAPLUS

DN 100:83795

TI An alternative procedure for the preparation of immunogenic liposomal model membranes

AU Kinsky, Stephen C.; Loader, Joan E.; Benson, Amy L.

CS Dep. Pediatr., Natl. Jew. Hosp., Denver, CO, 80206, USA

SO Journal of Immunological Methods (1983), 65(3), 295-306 CODEN: JIMMBG; ISSN: 0022-1759

DT Journal

LA English

AΒ A new procedure for the preparation of immunogenic liposomes is described which circumvents the need to synthesize the N-(hapten)-substituted derivs. of phosphatidylethanolamine that were previously employed for this purpose. The method is based on the generation of liposomes containing the N-hydroxysuccinimide (NHS) esters of either palmitic acid, cholesteryl-hemisuccinate, or N-succinyl-phosphatidylethanolamine. Reaction of these performed liposomes with a hapten that possesses a substitutable amino group [e.g., dinitrophenyl (DNP)-lysine] results in covalent attachment of the hapten to the plaque-forming cells in mice. The reliability of this procedure is indicated by the fact that these liposomes share the essential immunol. properties of liposomes sensitized by incorporation of N-substituted phosphatidylethanolamine derivs. The magnitude of the response was dependent on: (a) the presence of lipid A in the liposomes; (b) the phospholipid composition of the liposomes; (c) the distance separating the DNP determinant from the liposomal surface. Addnl. applications of liposomes, which contain the NHS esters, are discussed.

IT 88848-80-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 88848-80-0 CAPLUS

CN 8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1983:54497 CAPLUS

DN 98:54497

TI Phosphorylated derivatives, pharmaceutical compositions containing such derivatives, and their use

IN Baschang, Gerhard; Hartmann, Albert; Wacker, Oskar; Tarcsay, Lajos

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 182 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

LUIM.	CNI						
	PAT	TENT NO.	•	KIND	DATE	APPLICATION NO.	DATE
PI.	EΡ	56992		A1	19820804	EP 1982-100445	19820122
		R: AT,	BE, CH,	DE,	FR, IT, LU,	NL, SE	
	US	4423038		Α	19831227	US 1982-340680	19820119
	FΙ	8200178		Α	19820724	FI 1982-178	19820120
	GB	2092591		Α	19820818	GB 1982-1709	19820121
	GB	2092591		В	19840704		
	ES	508944		A1	19840701	ES 1982-508944	19820121
	CA	1190221		A1	19850709	CA 1982-394632	19820121
	DK	8200282		Α	19820724	DK 1982-282	19820122
	NO	8200200		Α	19820726	NO 1982-200	19820122

	NO 152904	В	19850902		
	NO 152904	С	19851211		
	AU 8279751	Α	19820729	AU 1982-79751	19820122
	JP 57142996	Α	19820903	JP 1982-8742	19820122
	ZA 8200433	Α	19821229	ZA 1982-433	19820122
	DD 202169	A5	19830831	DD 1982-236918	19820122
	HU 26858	A2	19830928	` ни 1982-189	19820122
	IL 64847	Α	19860228	IL 1982-64847	19820122
	ES 522435	A1	19850101	ES 1983-522435	19830516
	ES 528142	A1	19860416	ES 1983-528142	19831216
PRAI	CH 1981-439	Α	19810123		
os	MARPAT 98:54497	•			
GI ·					

RXCH₂

$$R120 \sim V OR1$$

$$X1_{R2} OCR^{3}R^{4}CONR^{5}CR^{6}R^{7}CONR^{8}CH (COR^{9}) CH_{2}CHR^{10}COR^{11}$$

AB Glycopeptides I $\{X, X1 = 0, NR13 (R13 = H, alkyl), R, R1, R2, R12 = MR1, R2, R12 = MR1, R2, R12 = MR1, R2, R12 = MR1, R2, R1, R2, R12 = MR1, R2, R13 = MR1, R2, R14, R2, R15 = MR1, R2,$ (ZZ1Z2)nR14 [Z = CO, CS; Z1 = (un)substituted alkylene; Z2 = O, NR13; R14 = P(O)(OH)OR15 (R15 = aliphatic or cycloaliph. group with ≥7 C atoms), P(0) (OH) OCHR16R17. [R16 = H; R17 = CH2CH2OH, CH(OH) CH2OH; R16, R17 = esterified or etherified CH2OH]; n = 0, 1]; R3, R4, R5, R7, R8 = H, alkyl; R6 = H, alkyl, X2(Z3Z4Z5)mR18 [X2 = 0, S, NR13; Z3 = C0, CS; Z4 = (un) substituted alkylene; Z5 = O, NR13, R18 = P(O)(OH)OR15, Z6Z7Z8R19 [Z6 = O, S, NR13, Z7 = (un) substituted alkylene; Z8 = O, NR13; R19 = P(O) (OH) OR15, P(O) (OH) OCHR16R17]; R10 = H, free or esterified or amidated CO2H] were prepared as immunomodulators. N-acetylnormuramyl-L-alanyl-D-isoglutamine was esterified with Ph2C:N2 to give the corresponding γ -diphenylmethyl ester, which was acylated with succinic anhydride to give the corresponding 6-0-succinoyl derivative, which was esterified with N-hydroxysuccinimide by DCC to give the corresponding succinimido ester. The latter was condensed with 2-(1,2-dipalmitoyl-sn-glycero-3-hydroxyphosphoryloxy)ethylamine to give a product, which had its diphenylmethyl ester cleaved by hydrogenolysis over Pd/BuSO4 to give N-acetyl-6-O-[[N-2-(1,2-dipalmitoyl-sn-glycero-3hydroxyphosphoryloxy)ethyl]succinamoyl]normuramyl-L-alanyl-D-isoglutamine. ΙT 84228-13-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with muramyl dipeptide derivative)

RN 84228-13-7 CAPLUS

8,10,14-Trioxa-5-aza-9-phosphatriacontanoic acid, 9-hydroxy-4,15-dioxo-12-[(1-oxohexadecyl)oxy]-, 9-oxide, sodium salt, (R)- (9CI) (CA INDEX NAME)

●x Na

=> file registry SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION 159.98 691.00 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION -24.18CA SUBSCRIBER PRICE -23.40

FILE 'REGISTRY' ENTERED AT 11:08:27 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1 DICTIONARY FILE UPDATES: 20 JUN 2007 HIGHEST RN 938114-25-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=> Uploading C:\Documents and Settings\jcho2\My Documents\10549630-m.str

L11 STRUCTURE UPLOADED

=> d lll Lll HAS NO ANSWERS Lll STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 111 sss full FULL SEARCH INITIATED 11:09:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 1340 TO ITERATE

10 SEA SSS FUL L11

100.0% PROCESSED 1340 ITERATIONS SEARCH TIME: 00.00.01

10 ANSWERS

SEARCH TIME: 00.00.0

=> d scan

L12

L12 10 ANSWERS REGISTRY COPYRIGHT 2007 ACS on STN

CM 1

CM 2

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> file caplus COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 172.10 863.10 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION 0.00 -24.18CA SUBSCRIBER PRICE

FILE 'CAPLUS' ENTERED AT 11:09:20 ON 21 JUN 2007 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 21 Jun 2007 VOL 146 ISS 26

FILE LAST UPDATED: 20 Jun 2007 (20070620/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 112

L13 21 L12

=> d 113 1-21 bib abs hitstr

L13 ANSWER 1 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:82183 CAPLUS

DN 146:333479

TI DNA-Oligonucleotide Encapsulating Liposomes as a Secondary Signal Amplification Means

AU Edwards, Katie A.; Baeumner, Antje J.

CS Department of Biological and Environmental Engineering, Cornell University, Ithaca, NY, 14853, USA

SO Analytical Chemistry (2007), 79(5), 1806-1815 CODEN: ANCHAM; ISSN: 0003-2700

PB American Chemical Society

DT Journal

LA English

A novel liposome-based signal amplification system was developed by AΒ encapsulating DNA oligonucleotides within antibody-tagged liposomes and subsequently detecting the oligonucleotide with dye-encapsulating liposomes for double signal enhancement. In this sandwich immunoassay, the model analyte, protective antigen protein from Bacillus anthracis, was captured by one set of antibodies immobilized in microtiter plate wells and detected using a second antibody conjugated to oligonucleotideencapsulating liposomes. Bound liposomes were lysed releasing the encapsulated fluorescein-tagged DNA 25-mer probe, which was then permitted to hybridize with its complementary sequence immobilized in a second plate. Finally, the amount of oligonucleotide was detected through the addition of anti-fluorescein antibody tagged dye-encapsulating liposomes. These secondary liposomes allowed for a .apprx.400+ lower LOD than detection of the fluorescein-labeled probe alone. Several aspects were investigated, including the encapsulation of various oligonucleotide concns. within liposomes; oligonucleotide hybridization times and buffers; degree of anti-fluorescein antibody coverage on the liposomes; and immobilized anti-protective antigen antibody concentration. The authors found that the encapsulation efficiency increased with the starting oligonucleotide concentration As many as 4000 DNA 25-mers were successfully entrapped in the liposome, and minimal leakage was observed over the course of 8 mo. When used in the sandwich immunoassay, a limit of detection of 4.1 ng/mL protective antigen was observed with an upper limit of 5000 ng/mL. Due to the endless combination of DNA oligonucleotide sequences, this assay lends itself perfectly for multiplexing on the order of tens to hundreds of analytes.

IT 184904-19-6

RL: ARU (Analytical role, unclassified); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)

(liposomes containing; DNA-oligonucleotide encapsulating antibody-tagged liposomes as secondary signal amplification means)

RN 184904-19-6 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide, (13R)- (CA INDEX NAME)

RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN L13

2006:1199823 CAPLUS ΑN

DN 146:212403

In vitro assessment of transferrin-conjugated liposomes as drug delivery TΙ systems for inhalation therapy of lung cancer

Anabousi, Samah; Bakowsky, Udo; Schneider, Marc; Huwer, Hanno; Lehr, ΑU Claus-Michael; Ehrhardt, Carsten

Saarland University, Biopharmaceutics and Pharmaceutical Technology, CS Saarbruecken, 66123, Germany

SO European Journal of Pharmaceutical Sciences (2006), 29(5), 367-374 CODEN: EPSCED; ISSN: 0928-0987

PΒ Elsevier B.V.

DT Journal

LA English

Most human tumors over-express receptors for growth factors and peptide hormones, which are being increasingly studied as a means to selectively deliver cytotoxic agents. An example being the transferrin receptor (TfR, CD71). Here, the authors studied expression levels and location of TfR in different lung epithelial cell types (i.e., bronchial and alveolar epithelial cells) by flow-cytometry and confocal laser scanning microscopy (CLSM). Furthermore, the authors assessed uptake levels and cytotoxicity of transferrin (Tf)-conjugated liposomes in vitro. TfR was found to be expressed at a significantly higher level in bronchial epithelial cells compared with their alveolar counterparts. Cells of cancerous origin (i.e., A549 cell line) showed a higher TfR expression level than healthy alveolar epithelial type II cells in primary culture. CLSM revealed TfR to be located primarily at the basolateral aspect of cells, with the exception of cells undergoing mitotic proliferation, which also showed TfR at their apical membranes, due to their loss of cell polarity. Higher expression levels of TfR correlated well with enhanced uptake of Tf-liposomes and increased levels of cytotoxicity. Liposome uptake was temperature-dependent and inhibitable by excess free Tf. Tf-conjugated liposomes appear as good candidates for an approach to deliver cytostatic drugs to sites of lung cancer by inhalation.

IT 184904-19-6

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(in vitro assessment of transferrin-conjugated liposomes as drug delivery systems for inhalation therapy of lung cancer)

184904-19-6 CAPLUS RN

9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-CN dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide, (13R)- (CA INDEX NAME)

HO₂C
$$(CH_2)_3$$
 $(CH_2)_{14}$ $(CH_2)_{14$

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2006:1064013 CAPLUS

DN 146:386521

TI Effect of PEGylation on the stability of liposomes during nebulisation and in lung surfactant

AU Anabousi, Samah; Kleemann, Elke; Bakowsky, Udo; Kissel, Thomas; Schmehl, Thomas; Gessler, Tobias; Seeger, Werner; Lehr, Claus-Michael; Ehrhardt, Carsten

CS Department of Biopharmaceutics and Pharmaceutical Technology, Saarland University, Saarbruecken, 66123, Germany

SO Journal of Nanoscience and Nanotechnology (2006), 6(9/10), 3010-3016 CODEN: JNNOAR; ISSN: 1533-4880

PB American Scientific Publishers

DT Journal

LA English

AB Oral inhalation of anticancer drugs or drug delivery system is a novel therapeutic approach in the treatment of lung cancer and requires formulations which are sufficiently stabile during nebulization and subsequent interaction with the surfactant lining of the lungs. In this study, we assessed the stability of plain and PEGylated transferrin-conjugated liposomes after nebulization using two different nebulisers (i.e., air-jet and ultrasonic type). Furthermore, the integrity of the liposomal membranes was assessed after incubation in com. lung surfactant solns. (Alveofact). All liposomal formulations showed no significant changes in their size after nebulization, independent of the type of nebuliser or the liposomal formulation, resp. However, PEGylation was of advantage when it came to interactions between liposomes and the surfactant lining of the lungs. PEGylated liposomes were significantly more stable and retained >80% of their drug load over 48 h, which is more than sufficient time for the drug carriers to be taken up by transferrin receptor over-expressing cancer cells in the lung. In conclusion, PEGylated and plain Tf-conjugated liposomes are stable enough to undergo nebulization in the course of an inhalational therapy, but PEG-stabilization results in a higher degree of membrane integrity in lung surfactant.

IT 184904-19-6

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(PEGylation effect on stability of liposomes during nebulisation and in lung surfactant)

RN 184904-19-6 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide, (13R)- (CA INDEX NAME)

$$HO_2C$$
 $(CH_2)_3$
 H
 N
 O
 P
 O
 R
 O
 $(CH_2)_{14}$
 Me
 $(CH_2)_{14}$
 O

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

```
ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 4 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
1.13
     2006:976212 CAPLUS
ΑN
DN
    145:342468
    Liposome compositions containing phosphatidylethanolamine dicarboxylate
ΤI
    derivatives
    Okada, Kazushi; Ibuki, Tadayuki; Kim, Dong Hyeon; Fujisawa, Tadashi
ΙN
PA
    Mebiopharm Co., Ltd., Japan
     Jpn. Kokai Tokkyo Koho, 16pp.
SO
    CODEN: JKXXAF
DT
     Patent
LA
     Japanese ·
FAN.CNT 1
     PATENT NO.
                         KIND
                                DATE ·
                                            APPLICATION NO.
                                                                   DATE
     -----
                         _---
                                _____
                                            _____
PΙ
    JP 2006248978
                         Α
                                20060921
                                            JP 2005-67469
                                                                   20050310
                                20060921 .
    WO 2006099169
                         A2
                                            WO 2006-US8650
                                                                   20060308
    WO 2006099169
                         Α3
                                20070222
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
```

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006-371586 20060308 US 2006222696 A1 20061005 20050310 PRAI JP 2005-67469

MARPAT 145:342468

The invention relates to a drug-containing liposome composition consisting of phospholipids and cholesterol, wherein the phospholipids include phosphatidylethanol amine dicarboxylate derivative 1-12 mol% to the total phospholipids, and does not include non-derivatized phosphatidylethanol amine. The liposome is modified with a ligand having an affinity to target cells through the phosphatidylethanolamine dicarboxylate derivative The liposome composition enables providing appropriate blood retention property of the active component. For example, liposome composition containing oxaliplatin

as an active component was prepared from oxaliplatin sucrose solution, distearoylphosphatidylcholine, cholesterol, and N-glytaryldistearoylphosphatidylethanolamine 2:1:0.2. The oxaliplatin-containing liposome was treated with EDC, N-Hydroxysulfosuccinimide, and then transferrin to modify the liposome with transferrin. The blood retention property and antitumor effect of the liposome in tumor-bearing mice were examined

ΙT 150150-68-8DP, conjugates with transferrin RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(liposome compns. containing phosphatidylethanolamine dicarboxylate derivs. conjugated with cell-targeting ligands)

RN 150150-68-8 CAPLUS

9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-CN dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

L13 ANSWER 5 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

2005:1001834 CAPLUS

DN 143:282132

ΤI Multiplex detection probes for microarray assays

Murakami, Taku

Hitachi Chemical Research Center, Inc., USA; Hitachi Chemical Co., Ltd.

SO PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DT Patent

LA English

	CNT 1								
	PATENT NO.	K	IND DAT	E	APPLICAT	ION NO.	D	ATE	
PI	WO 200508421				WO 2005-	US5955	2	0050228	
	CN, GE, LK, NO, SY, RW: BW,	AG, AL, A CO, CR, C GH, GM, H LR, LS, L NZ, OM, P TJ, TM, T GH, GM, K BY, KG, K	M, AT, AU U, CZ, DE R, HU, ID T, LU, LV G, PH, PL N, TR, TT E, LS, MW	, DK, DM , IL, IN , MA, MD , PT, RO , TZ, UA , MZ, NA	, DZ, EC, , IS, JP, , MG, MK, , RU, SC, , UG, US, , SD, SL,	EE, EG, KE, KG, MN, MW, SD, SE, UZ, VC, SZ, TZ,	ES, FI, KP, KR, MX, MZ, SG, SK, VN, YU, UG, ZM,	GB, GD, KZ, LC, NA, NI, SL, SM, ZA, ZM, ZW, AM,	zw
· PRAT	EE, RO, MR, EP 1718662 R: AT,	ES, FI, F SE, SI, S NE, SN, T BE, CH, D SI, LT, F	R, GB, GR K, TR, BF D, TG A2 200 E, DK, ES I, RO, CY	, HU, IE , BJ, CF 61108 , FR, GB , TR, BG	, IS, IT, , CG, CI, EP 2005- , GR, IT,	LT, LU, CM, GA, 723710 LI, LU,	MC, NL, GN, GQ, 2 NL, SE,	PL, PT, GW, ML,	
LIMI	WO 2005-US59								
AB	The present	invention	comprise	s detect.	ion probe	s utilizi	ing vesi	cles or	

soluble bodies to retain multiple mass tag mols. The detection probes may be used to simultaneously assay a plurality of different biol. samples, each comprising a plurality of analytes, by immobilizing the analytes from each of the samples on a surface incubating the surface with a set of the detection probes, each having mass tag mols. with different masses, removing the unbound detection probe, collecting the first and second mass tag mols. from the bound detection probe, and quantifying the first and second mass tag mols. collected.

IT 184904-19-6

> RL: ARU (Analytical role, unclassified); ANST (Analytical study) (multiplex detection probes for microarray assays)

RN 184904-19-6 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide, (13R)- (CA INDEX NAME)

Absolute stereochemistry.

L13 ANSWER 6 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:485804 CAPLUS

DN 144:156314

TI Preparation of cationic liposomes modified by polyethylenimine and their application as gene carrier

AU Seo, Dong Hoan; Shin, Byung Cheol; Kim, Moon Suk

CS Nanobiomaterials Laboratory, Korea Research Institute of Chemical Technology, Yuseong, Daejeon, 305-606, S. Korea

SO Polymer (Korea) (2005), 29(3), 277-281 CODEN: POLLDG; ISSN: 0379-153X

PB Polymer Society of Korea

DT Journal

LA Korean

AB In this work, we prepared the lipid with polyethylenimine (PEI) to investigate the possibility as effective DNA carrier. Cationic lipid (PEI-DSPE) was synthesized by the reaction of PEI and 1,2-diacyl-sn-glycero-3-phosphoethanolamine (DSPE). The liposomes were prepared by the concentration changes of PEI-DSPE for a mixture of 1,2-distearoyl-sn-glycero-3-phosphocholine (DSPC), L-α-phosphatidylcholine, hydrogenated (HSPC) and cholesterol (CHOL). Particle size decreased as PEI-DSPE concentration increased. In addition, the charge of liposome surface increased to pos. value according to increasing the relative of PEI-DSPE concentration. The complexation of DNA was confirmed by gel retardation assay and fluorescence measurement. The surface charge of liposome/DNA complexes increased as the liposome concentration or surface charge of liposome increased.

In conclusion, we confirmed that the prepared liposomes have the possibility as a DNA carrier. \cdot

IT 150150-68-8D, reaction products with polyethylenimine

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of cationic liposomes modified by polyethylenimine and their application as gene carrier)

RN 150150-68-8 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

```
ANSWER 7 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
ΑN
     2004:799592 CAPLUS
DN
     141:320053
     Phospholipid derivatives for liposome compositions
ΤI
     Itoh, Chika; Ohhashi, Syunsuke; Kubo, Kazuhiro; Yasukohchi, Tohru;
ΙN
     Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi
     NOF Corporation, Japan; Daiichi Pharmaceutical Co. Ltd.
PA
SO
     PCT Int. Appl., 39 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
                                             APPLICATION NO.
     PATENT NO.
                          KIND
                                 DATE
                                                                      DATE
     WO 2004083219
                          A1
                                 20040930
                                           WO 2004-JP3789
                                                                    20040319
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
     US 2007031481
                           A1
                                 20070208
                                             US 2006-549630
                                                                      20060817
                                 20030320
PRAI JP 2003-77242
                           Α
     WO 2004-JP3789
                          W
                                 20040319
     A phospholipid derivative represented by the formula
AΒ
     R1COCH2CH (OCR2) CH2OP (OX) O2CH2CH2NHCO (CH2) a (CO) bO (A1O) m (A2O) n (A3O) qR3
     (R1CO, R2CO = acyl; R3 = H, hydrocarbon; a = 0-4; b = 0-1, provided that
     when a is 0, then b is 0; X = H, alkali metal, ammonium, organic ammonium;
     A10, A20, and A30 = oxyalkylene, provided that the proportion of
     oxyethylene in AlO and A3O is 0.5 or higher by weight; and m, n, and q each
     indicates the average number of moles added, provided that 5 \le m \le 1
     600, 1 \le n \le 45, 0 \le q \le 200, 10 \le m +
     n + q \le 600, 0.04 \le n/(m + n + q), and q/(m + n + q)
     \leq 0.8). The derivative, on the surface of a liposome, is inhibited
     from spreading its polyalkylene oxide structure and thus serves to
     increase the amount of the hydrated layer on the surface and thereby
     heighten the stability of the liposome. A phospholipid compound monomethyl
     polyoxypropylene-polyoxyethylenesuccinyl distearoylphosphatidylethanolamin
     e was prepared The phospholipid 1.04 mM was mixed with hydrogenated soybean
     phosphatidylcholine (HSPC) 11.28 cholesterol 7.68 mM, and doxorubicin
     solution q.s. to form a liposome with an average particle size of 95 nm.
     766509-41-5P, Monomethyl polyoxypropylene-polyoxyethylene
ΙT
     glutaryldistearoylphosphatidylethanolamine
     RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic
     use); BIOL (Biological study); PREP (Preparation); USES (Uses)
         (phospholipid derivs. for liposome compns.)
RN
     766509-41-5 CAPLUS
     Oxirane, methyl-, polymer with oxirane, mono[10-hydroxy-10-oxido-5,16-
CN
     dioxo-13-[(1-oxooctadecyl)oxy]-9,11,15-trioxa-6-aza-10-
     phosphatritriacontanoate], methyl ether (9CI) (CA INDEX NAME)
     CM
          1 -
     CRN 150150-68-8
     CMF C46 H88 N O11 P
```

CM 2

CRN 67-56-1 CMF C H4 O

нзс-он

CM 3

CRN 9003-11-6

CMF. (C3 H6 O . C2 H4 O) \times

CCI PMS

CM 4

CRN 75-56-9 CMF C3 H6 O

CH3

CM 5

CRN 75-21-8 CMF C2 H4 O



L13 ANSWER 8 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:633410 CAPLUS

DN 141:179562

TI Multivalent constructs for therapeutic and diagnostic applications

IN Arbogast, Christophe; Bussat, Philippe; Dransfield, Daniel T.; Fan, Hong; Linder, Karen; Marinelli, Edmund R.; Nanjappan, Palaniappa; Nunn, Adrian; Pillai, Radhakrishna; Pochon, Sybille; Ramalingam, Kondareddiar; Sato, Aaron; Shrivastava, Ajay; Song, Bo; Swenson, Rolf E.; Von Wronski, Mathew A.; Walker, Sharon Michele

PA Bracco International B. V., Neth.; Dyax Corporation

SO PCT Int. Appl., 320 pp.

CODEN: PIXXD2

DT Patent

	PA!	PENT	NO.			KIN	D	DATE			APPL:	ICAT:	ION I	NO.		D	ATE	
PI		2004 2004						2004			WO 2	003-1	US28	838		2	0030	911
		W:	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,
			ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,
			NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,
	:		UG,	US,	UZ,	VN,	YU,	ZW										
	•	RW:	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
	KG, KZ, MD, RU, FI, FR, GB, GR,				GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
	BF, BJ, CF																	
	US	2004	0189	74		A1		2004	0129		US 2	003-	3792	87		2	0030.	303
		7211						2007										
	CA	2512	780			A1		2004	0805		CA 2	003-	2512	780		2	0030	911
	ΑU	2003						2004	0813		AU 2	003-	2768	84		2	0030	911
	EΡ	1587						2005										
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
٠.			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	ΑL,	TR,	BG,	CZ,	EE,	HU,	SK	
PRAI	US	2003	-440	201P		P	•	2003	0115									
	US 2003-379287																	
	US 2002-3608211																	٠.
	WO	2003	-US2	8838		M .		2003	0911									
AB	The	e inv	enti	on f	eatu	res	mult	ival	ent (cons	truc	ts u	sing	sma	11 t	arge	ting	

AB The invention features multivalent constructs using small targeting moieties which bind to different sites of the same target allowing for improved localization to the desired target and providing improved means for detecting, imaging and/or treating the target site. These targeting constructs may be linked or conjugated to a detectable label and/or a therapeutic agent and used to deliver the detectable label and/or therapeutic agent to the target of interest. The target may be a receptor involved in angiogenesis, hyperproliferative disorders or wound healing. Among examples provided are human carcinoma cell growth inhibition by an antiangiogenic heterodimeric peptide binding to VEGF receptor 2 (KDR), and ultrasound imaging using microbubbles derivatized with a KDR-binding heterodimer.

IT 123689-62-3D, derivs.

RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(multivalent constructs for therapeutic and diagnostic applications)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

L13 ANSWER 9 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:610131 CAPLUS

DN 141:162358

TI Conjugate for retention in blood and cancer tissue-specific drug delivery

IN Maeda, Atsushi; Takagi, Akira; Saito, Katsumi; Yamashita, Noboru;

Yoshioka, Tatsunobu

Yamanouchi Pharmaceutical Co., Ltd., Japan PA

PCT Int. Appl., 77 pp. SO

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.					KIN	D	DATE		1	APPL:	ICAT:	ION I	NO.		Dž	ATE	
ΡI	WO	2004	0632	16		A1	-	2004	0729		WO 2	004-	JP10	4 4		2	0040	109
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE, GH, GM,			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
		LK, LR, LS,			LT,	·LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ			
	US	2005	2005054026					2005	0310	. 1	US 2	004-	7543	41		2	0040	109
	US	7169	892			В2		2007	0130									
	ΕP	1591	450			A1		2005:	1102]	EP 2	004-	7011	16		21	0040	109
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK	
PRAI	I US 2003-439560P				•	P		2003	0110									
	TAT CO	2004	_ TD1	Λ /		TAT		2004	0100									

20040109 WO 2004-JP104

AB Disclosed are a conjugate of a lipid, a peptide serving as the substrate of an enzyme secreted from cells of mammals including humans and a water-soluble polymer, which is usable as a colloidal carrier in a tissue-specific drug delivery system, etc.; a process for producing the conjugate; a peptide/water-soluble polymer conjugate optionally having a protective group which is useful as an intermediate of the conjugate; a colloidal carrier comprising the conjugate; and a tissue-specific drug delivery system using the colloidal carrier. Thus, distearoylphosphatidylethanolamine-glutaryl-Gly-Pro-Gln-Gly-Ile-Ala-Gly-Trp-Gly-amidopropyl polyoxyethylene Me ether was prepared for making liposome composition The blood retention property and cancer-targeting property of the liposome was examined in mice.

ΙT 150150-68-8P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of conjugate for retention in blood and cancer tissue-specific drug delivery containing lipids, water-soluble polymers, and peptides serving

as substrates of specified enzymes)

RN 150150-68-8 CAPLUS

9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-CN dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

ANSWER 10 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

2004:589555 CAPLUS ΑN

DN 141:142211

Phospholipid derivatives used for surfactants, solubilizers, dispersants ΤI in cosmetics and lipid membranes and their preparation

Kubo, Kazuhiro; Itoh, Chika; Ohhashi, Syunsuke; Yasukohchi, Tohru; Ohkawa, IN Yusuke; Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi

```
NOF Corporation, Japan; Daiichi Pharmaceutical Co., Ltd.
PA
SO
     PCT Int. Appl., 52 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     Japanese
FAN.CNT 1
     PATENT NO.
                          KIND
                                 DATE
                                             APPLICATION NO.
                                                                     DATE
PΙ
     WO 2004060899
                          A1
                                 20040722
                                             WO 2003-JP15969
                                                                     20031212
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
             OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                 20040722
                                             CA 2003-2513144
     CA 2513144
                          Α1
                                                                     20031212
                                 20040729
                                             AU 2003-289070
     AU 2003289070
                          Α1
                                                                     20031212
                                 20051102
                                             EP 2003-778894
     EP 1591447
                          Α1
                                                                     20031212
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                 20060215
                                          CN 2003-80108368
                                                                     20031212
     CN 1735624
                          A
                                             US 2005-541309
                                                                     20050705
     US 2006210618
                          Α1
                                 20060921
                                 20030106
PRAI JP 2003-330
                          Α
                                 20031212
     WO 2003-JP15969
                          W
GI
```

```
The phospholipid derivs. I ([PG]k = residue of a polyglycerol having d.p.
AB
     k; k = 2-50; R1CO, R2CO = C8-22 acyl; a = 0-5; b = 0-1; M = H, alkali
     metal, ammonium or organic ammonium; and k1, k2, k3 = nos. satisfying the
     relationships: 1 \le k1 \le (k + 2)/2, 0 \le k2, and k1 + k1 \le (k + 2)/2
     k2 + k3 = k + 2). The derivs. are highly safe for the living body and can
     be favorably utilized in drug delivery systems such as liposome.
IT
     725724-24-3P 725724-25-4P 725724-26-5P
     725724-32-3P
     RL: BUU (Biological use, unclassified); COS (Cosmetic use); IMF
     (Industrial manufacture); TEM (Technical or engineered material use); BIOL
     (Biological study); PREP (Preparation); USES (Uses).
        (preparation of phospholipid derivs. used for surfactants, solubilizers,
        dispersants in cosmetics and lipid membranes)
RN
     725724-24-3 CAPLUS
     9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-
CN
```

Ι

dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide, ester with hexaglycerol (9CI)
(CA INDEX NAME)

CM 1

CRN 150150-68-8 CMF C46 H88 N O11 P

CM 2

CRN 36675-34-0 CMF C18 H38 O13 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 725724-25-4 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide, ester with octaglycerol (9CI) (CA INDEX NAME)

CM 1

CRN 150150-68-8 CMF C46 H88 N O11 P

CM 2

CRN 70103-30-9 CMF C24 H50 O17 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 725724-26-5 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide, ester with decaglycerol (9CI) (CA INDEX NAME)

CM 1

CRN 150150-68-8 CMF C46 H88 N O11 P

CM 2

CRN 9041-07-0 CMF C30 H62 O21 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE .***

RN 725724-32-3 CAPLUS

CN Butanedioic acid, ester with octaglycerol 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-9,11,15-trioxa-6-aza-10-phosphatritriacontanoate 10-oxide (8:1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 150150-68-8 CMF C46 H88 N O11 P

CM 2

CRN 70103-30-9 CMF C24 H50 O17 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 3

CRN 110-15-6 CMF C4 H6 O4

 $HO_2C-CH_2-CH_2-CO_2H$

ΙT 150150-68-8P

CN

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phospholipid derivs. used for surfactants, solubilizers, dispersants in cosmetics and lipid membranes)

RN 150150-68-8 CAPLUS

> 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

ANSWER 11 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN L13

2003:818316 CAPLUS ΑN

139:328319 DN

Multivalent constructs for therapeutic and diagnostic applications TΙ

IN Arbogast, Christophe; Bussat, Philippe; Dransfield, Daniel T.; Fan, Hang; Linder, Karen E.; Marinelli, Edmund R.; Nanjappan, Palaniappa; Nunn, Adrian; Pillai, Radhakrishna; Pochon, Sybille; Ramalingam, Kondareddiar; Sato, Aaron; Shrivastava, Ajay; Song, Bo; Swenson, Rolf E.; Von Wronski, Mathew A.; Walker, Sharon Michele

Bracco International BV, Neth.; Dyax Corp. PA

SO PCT Int. Appl., 278 pp.

CODEN: PIXXD2

DT Patent

English LA

EAM (CNT 3													•			
r Alv.	PATENT	NO.		KIŅ	D	DATE			APPL	ICAT:	ION 1	NO.		D	ATE		
ΡI	WO 2003	084574		A1	_	2003	1016	1	WO 2	003-1	US 66	 56		2	0030	303	
	W:	AE, A															
	***	•	R, CU,	•													
		•															
		•	R, HU,	•			•		-								
			r, Lu,														
		PL, P	r, RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	.TJ,	TM,	TN,	TR,	TT,	ΤZ,	
		UA, U	G. UŚ.	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW							
	RW:	GH, G	M. KE.	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		•	z, MD,			•				-							
			R, GB,														
			J, CF,	•	•	•	•	•	•		•	•		•			
	CA 2477	•		-					-								
																•	
	AU 2003																
	EP 1482																
	R:	AT, B	E, CH,	DΕ,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE, S	I, LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	ΗU,	SK		
	JP 2005															303	
PRAI	US 2002	-36082	1 P	Р	-	2002	0301										
	US 2003																
	WO 2003																
AB	The inv	ention	featu	res	mult	ival	ent d	cons	truc	ts u	sing	sma	11 ta	arge	ting		

moieties which bind to different sites of the same target allowing for improved localization to the desired target and providing improved means for detecting, imaging and/or treating the target site. These targeting constructs may be linked or conjugated to a detectable label and/or a

therapeutic agent and used to deliver the detectable label and/or therapeutic agent to the target of interest. The target may be a receptor involved in angiogenesis, hyperproliferative disorders or wound healing. Among examples provided are human carcinoma cell growth inhibition by an antiangiogenic heterodimeric peptide binding to VEGF receptor 2 (KDR), and ultrasound imaging using microbubbles derivatized with a KDR-binding heterodimer.

ΙT 123689-62-3D, derivs.

> RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(multivalent constructs for therapeutic and diagnostic applications)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN L13

2003:796716 CAPLUS AN

DN 139:296564

Phospholipid derivatives for cosmetic and pharmaceutical uses ΤI

ΙN Itoh, Chika; Kubo, Kazuhiro; Ohhashi, Syunsuke; Yasukohchi, Tohru; Kikuchi, Hiroshi; Suzuki, Norio; Kurosawa, Miho; Yamauchi, Hitoshi

NOF Corporation, Japan; Daiichi Pharmaceutical Co., Ltd. PΑ

SO PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DTPatent

LA Japanese

F	AN.	CNT	1														•		
		PAT	CENT :	NO.			KIN	D	DĄTE								D	ATE	
F	·Ι	WO	2003	0828	82		A1	_	2003	1009	,		003-				20	0030	328
			W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
				co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
				GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,
				LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	PH,
				PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
				UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw						
			RW:	GH,	GM,	ΚĖ,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤŻ,	UG,	ZM,	ZW,	AM,	AZ,	BY,
				KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
				FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
				BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
		ΑU	2003	2209	17		A1		2003	1013		AU 2	003-	2209	1,7		2	0030	328
		EΡ	1498	420			A1		2005	0119		EP 2	003-	7155	8.9		2	0030	328
			R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
				ΙE,	SI,	LT,	LV,		RO,										
		US	2005	2208	56		A1		2005	1006		US 2	005-	5087	04		21	0050	525
F	PRAI																		
		JP 2002-93694 WO 2003-JP3966								0328									
							, ,												

Disclosed is a phospholipid derivative which is highly safe for the living ΑB body and is suitable for use in solubilizing or dispersing a physiol.

active substance, etc. or in the field of drug delivery systems, e.g., a liposome, or cosmetics. The phospholipids comprise polyalkylene oxide groups. For example, polyoxyethylene pentaerythritol ether glutaryl-mono(distearoylphosphatidylethanolamine succinate) was prepared and used as a solubilizer in formulating lotions. 609844-35-1P RL: COS (Cosmetic use); SPN (Synthetic preparation); THU (Therapeutic

use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of phospholipid derivs. for cosmetic and pharmaceutical uses)

RN 609844-35-1 CAPLUS

CN Poly(oxy-1,2-ethanediyl), α -hydro- ω -hydroxy-, ether with oxybis[propanol] (4:1), mono(10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-9,11,15-trioxa-6-aza-10-phosphatritriacontanoate 10-oxide) (9CI) (CA INDEX NAME)

CM 1

ΙT

CRN 150150-68-8 CMF C46 H88 N O11 P

CM 2

CRN 59113-36-9 CMF C6 H14 O5 CCI IDS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 3

CRN 25322-68-3 CMF (C2 H4 O)n H2 O CCI PMS

$$HO \longrightarrow CH_2 - CH_2 - O \longrightarrow n$$

IT 150150-68-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of phospholipid derivs. for cosmetic and pharmaceutical uses)

RN 150150-68-8 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:549174 CAPLUS

DN 136:107385

TI Liposomes bearing polyethyleneglycol-coupled transferrin with intracellular targeting property to the solid tumors in vivo

AU Ishida, Osamu; Maruyama, Kazuo; Tanahashi, Hiroyuki; Iwatsuru, Motoharu; Sasaki, Katsunori; Eriguchi, Masazumi; Yanagie, Hironobu

CS Faculty of Pharmaceutical Sciences, Teikyo University, Kanagawa, 199-0195, Japan

SO Pharmaceutical Research (2001), 18(7), 1042-1048 CODEN: PHREEB; ISSN: 0724-8741

PB Kluwer Academic/Plenum Publishers

DT Journal

LA English

AB The purpose of this study was to determine the usefulness of transferrin (TF)-pendant-type polyethyleneglycol (PEG)-liposomes (TF-PEG-liposomes), in which TF was covalently linked to the distal terminal of PEG chains on the external surface of PEG-liposomes as a carrier for in vivo cytoplasmic targeting to tumor cells. Small unilamellar TF-PEG-liposomes (100-140 nm in diameter) were prepared from DSPC, CH, DSPE-PEG, and DSPE-PEG-COOH (2:1:0.11:0.021, molar ratio), and were conjugated to TF via the carboxyl residue of DSPE-PEG-COOH. The intracellular targeting ability of TF-PEG-liposomes to tumor cells was examined in vitro and in Colon 26 tumor-bearing mice. TF-PEG-liposomes, bearing approx. 25 TF mols. per liposome, readily bound to mouse Colon 26 cells in vitro and were internalized by receptor-mediated endocytosis. TF-PEG-liposomes showed a prolonged residence time in the circulation and low RES uptake in Colon 26 tumor-bearing mice, resulting in enhanced extravasation of the liposomes into the solid tumor tissue. Electron microscopic studies in Colon 26 tumor-bearing mice revealed that the extravasated TF-PEG-liposomes were internalized into tumor cells by receptor-mediated endocytosis. TF-PEG-liposomes had the capabilities of specific receptor binding and receptor-mediated endocytosis to target cells after extravasation into solid tumors in vivo. Such liposomes should be useful for in vivo cytoplasmic targeting of chemotherapeutic agents or plasmid DNAs to target cells.

IT 150150-68-8P

CN

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(liposomes bearing PEG-coupled transferrin with intracellular targeting property to solid tumors in vivo) ${\bf r}$

RN 150150-68-8 CAPLUS

9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:470561 CAPLUS

DN 136:221629

TI Synthesis of RGD containing peptides. Comparative study of their incorporation to the surface of 5-fluorouridine loaded liposomes

AU Massaguer, A.; Haro, I.; Alsina, M. A.; Reig, F.

CS Department of Peptide and Protein Chemistry, IIQAB.CSIC, Barcelona, 08034, Spain

SO Journal of Liposome Research (2001), 11(1), 103-113 CODEN: JLREE7; ISSN: 0898-2104

PB Marcel Dekker, Inc.

DT Journal

LA English

The synthesis on solid phase of a peptide sequence (GGRGRS) related to an AB integrin adhesion site as well as the preparation of some hydrophobic derivs. is described. The incorporation of these peptides to the surface of liposomes was carried out either through the NGPE (N-glutaryl dipalmitoyl phosphatidylcholine) carboxyl-group or mixing hydrophobic peptide derivs. with lipids since the beginning of the process. The influence of these factors on the entrapment yield of 5-FUR (5-fluorouridine) was determined Best results, calculated as percentage of drug encapsulation, were obtained when the peptide was linked to preformed liposomes via an NGPE-amide bond. On the contrary, the presence of these hydrophobic peptides on the bilayers decreases the overall yield of encapsulation of 5-FUR. Nevertheless, considering drug/lipid relationship and scaling-up requirements it seems that the use of myristoyl peptide derivative should be the procedure of choice. Physicochem. studies carried out with the peptides indicated that the presence of hydrophobic moieties linked to the parent peptide increases the tendency to self aggregation, as detected through fluorescence studies using DPH (1,6-di-Ph hexatriene) as marker, reducing in this way the efficiency of incorporation of hydrophobic peptides to the surface of liposomes.

IT 123689-62-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of RGD-containing peptides and their incorporation to surface

of

5-fluoruridine-loaded liposomes)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L13
     ANSWER 15 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
     2000:865087 CAPLUS
ΑN
DN
     134:21485
TΙ
     Liposome vector complexes for gene therapy
     Bruesselbach, Sabine; Mueller, Kristina; Fahr, Alfred
IN
     Aventis Pharma Deutschland G.m.b.H., Germany
PA
     Ger. Offen., 14 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LA
     German
FAN.CNT 1
                                DATE
                                            APPLICATION NO.
                                                                    DATE
     PATENT NO.
                         KIND
     _____
                                20001207
                                            DE 1999-19925143
                                                                    19990602
PΙ
     DE 19925143
                          Α1
     CA 2375854
                          A1
                                20001214
                                            CA 2000-2375854
                                                                    20000523
     WO 2000074646
                          A2
                                20001214
                                            WO 2000-EP4678
                                                                    20000523
     WO 2000074646
                          A3
                                20010809
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ; NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                          Α2
                                20020320
                                            EP 2000-929548
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2003501373
                                20030114
                                            JP 2001-501183
                                                                    20000523
PRAI DE 1999-19925143
                          Α
                                19990602
                          W
                                20000523
     WO 2000-EP4678
AB
     The invention refers to a new liposome carrier complex, containing the
     following components: (A) a nucleic acid sequence of arbitrary length; (B)
     a cationic carrier, (C) lipids and phospholipids and (D) optionally a
     ligand, and (E) optionally a functional sequence from the subunit HA-2
     hemagglutinins of the influenza virus. Human umbilical cord endothelial
     cells, which were incubated with the liposomes, showed a clear expression
     of cDNA.
IT
    ·123689-62-3P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (liposome vector complexes for gene therapy)
RN
     123689-62-3 CAPLUS
     9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-
CN
     dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI)
                                                      (CA INDEX NAME)
```

ANSWER 16 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

1997:601246 CAPLUS AN

DN 127:298616

Enhancement of the in vivo circulation lifetime of $L-\alpha$ distearoylphosphatidylcholine liposomes: importance of liposomal aggregation versus complement opsonization

ΑU Ahl, Patrick L.; Bhatia, Suresh K.; Meers, Paul; Roberts, Patricia; Stevens, Rachel; Dause, Richard; Perkins, Walter R.; Janoff, Andrew S.

The Liposome Company, Inc., Princeton Forrestal Center, One Research Way, CS Princeton, NJ, 08540-6619, USA

Biochimica et Biophysica Acta, Biomembranes (1997), 1329(2), 370-382 SO CODEN: BBBMBS; ISSN: 0005-2736

PB Elsevier B.V.

DT Journal

LA English

AB Incorporation of $N-(\omega-carboxy)$ acylamido-phosphatidylethanolamines (-PEs) into large unilamellar vesicles (LUVs) of $L\text{-}\alpha\text{-}$ distearoylphosphatidylcholine (DSPC) was found to dramatically increase the in vivo liposomal circulation lifetime in rats, reaching a maximal effect at 10 mol.% of the total phospholipid. Neither pure DSPC liposomes nor those with the longest circulating derivative, N-glutaryldipalmitoylphosphatidylethanolamine (-DPPE), were found to significantly bind complement from serum. Therefore, the relatively short circulation time of pure DSPC liposomes did not appear to be related to greater complement opsonization leading to uptake by the reticuloendothelial system. However, N-(ω-carboxy)acylamido-PEs were particularly efficient inhibitors of a limited aggregation detected for pure DSPC liposomes. The aggregation tendency of DSPC liposomes incorporating various structural analogs of N-glutaryl-DPPE correlated inversely with the circulation lifetimes. Therefore, it is concluded that such PE derivs. enhance the circulation time by preventing liposomal aggregation and avoiding a poorly understood mechanism of clearance that is dependent on size but is independent of complement opsonization. At high concns. of N-glutaryl-DPPE (above 10 mol.%), the liposomes exhibited strong complement opsonization and were cleared from circulation rapidly, as were other highly neg. charged liposomes. These data demonstrate that both the lack of opsonization and the lack of a tendency to aggregate are required for long circulation. Liposomal disaggregation via N-(ω carboxy)acylamido-PEs yields a new class of large unilamellar DSPC liposomes with circulation lifetimes that are comparable to those of sterically stabilized liposomes.

IT 123689-62-3P

CN

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (liposomal aggregation vs. complement opsonization in enhancement of circulation lifetime of $L-\alpha$ -distearoylphosphatidylcholine liposomes)

RN 123689-62-3 CAPLUS

> 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA_INDEX_NAME)

RE.CNT 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L13 ANSWER 17 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN
AN
     1997:231302 CAPLUS
     126:282815
DN
     Reduction of liposome-induced adverse physiological reactions
TΙ
     Ahl, Patrick L.; Bhatia, Suresh K.; Minchey, Sharma R.; Janoff, Andrew S.
ΙN
PΑ
     Liposome Co., Inc., USA
     U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 207,651, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
LA
     English
FAN.CNT 2
     PATENT NO.
                         KIND
                                 DATE
                                             APPLICATION NO.
                                                                    DATE
                         ____
     ______
                                             US 1994-247053
                                                                    19940520
PI.
     US 5614214
                          Α
                                19970325
                                             CA 1994-2160118
                                                                    19940520
     CA 2160118
                          Ά1
                                19941208
                          A2
                                20010725
                                             EP 2001-100692
                                                                    19940520
     EP 1118326
                          A3
                                20020731
     EP 1118326
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE
     ES 2165875
                          тз .
                                20020401.
                                             ES 1994-918106
                                                                    19940520
                          Т
                                             PT 1994-918106
     PT 699068
                                20020628
                                                                    19940520
                                             US 1995-433665
                                                                    19950504
                                19970902
     US 5662930
                          Α
                          B2
PRAI US 1993-65928
                                19930521
                          B2
     US 1994-207651
                                19940307
                          A3
                                19940520
     EP 1994-918106
     US 1994-247053
                          A3
                                19940520
AB
     The blood pressure decrease associated with administering bioactive
```

agent-containing liposomes to an animal is diminished by incorporation into the liposomes (diameter 200-5000 nm) of a phosphatidylethanolamine conjugated to a dicarboxylic acid in such amount that this conjugate comprises ≥10% of the liposome's bilayer. Thus, distearoylphosphatidylcholine liposomes were prepared which contained 5 mol% dipalmitoylphosphatidylethanolamine-glutaric acid conjugate.

IT 123689-62-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)

(reduction of liposome-induced adverse physiol. reactions)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

```
ANSWER 18 OF 21 CAPLUS
                               COPYRIGHT 2007 ACS on STN
L13
     1996:404755 CAPLUS
AN
DN
     125:67758
     Ether lipid liposomes for cancer treatment
ΤI
     Mayhew, Eric; Janoff, Andrew S.; Ahmad, Imran; Bhatia, Suresh K.
IN
PA
     Liposome Company, Inc., USA
SO
     PCT Int. Appl., 45 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 7
     PATENT NO.
                          KIND
                                 DATE
                                              APPLICATION NO.
                                                                      DATE
                                 19960425
                                              WO 1995-US12721
                                                                      19951012
PΙ
     WO 9611670
                           A1
         W: AU, CA, FI,
                          JP, KR, NO
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
     CA 2199179
                           Α1
                                 19960425
                                             CA 1995-2199179
                                                                      19951012
     AU 9537625
                           Α
                                 19960506
                                             AU 1995-37625
                                                                      19951012
     AU 707414
                           B2
                                 19990708
                                              EP 1995-935710
                                                                      19951012
    EP 785773
                           A1
                                 19970730
                           В1
                                 20010103
                 BE, CH, DE,
                              DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
             AT,
     JP 10507450
                           T
                                 19980721
                                              JP 1996-513281
                                                                      19951012
     AT 198419
                           Τ
                                 20010115
                                             AT 1995-935710
                                                                     19951012
                           Т3
                                              ES 1995-935710
                                                                      19951012
     ES 2153053
                                 20010216
                                              PT 1995-935710
     PT 785773
                           Т
                                 20010531
     FI 9701494
                           Α
                                 19970410
                                              FI 1997-1494
                                                                      19970410
                                              NO 1997-1643
     NO 9701643
                           Α
                                 19970609
                                                                      19970410
     NO 316206
                           В1
                                 20031229
                                             GR 2001-400538
     GR 3035689
                           T3
                                 20010731
                                                                      20010402
PRAI US 1994-323042
                           Α
                                 19941014
     WO 1995-US12721
                                 19951012
OS
     MARPAT 125:67758
     Ether lipids R1OCH2CH(ZR2)CH2R3 [R1 = Y1Y2; Y1 = CH3, CO2H; Y2 =
AB
     alkapolyenyl; Z = O, S; R2 = Y1Y2, (fluoro)alkyl; R3 = R5P(O)(OH)OR6; R5 = COM(OH)OR6
     O, S, NH; R6 = CH2CH2N+Me3, CH2CH2NH2, CH2CH(OH)CH2OH, CH2CH2NHC(O)R7; R7
     = Y2CH3, Y2CO2H] are incorporated into liposomes with a
     headgroup-derivatized lipid (e.g. a phosphatidylethanolamine-dicarboxylic
     acid) and optionally a sterol and a neutral lipid for treatment of cancer
     and inflammatory diseases. These liposomes have low hemolytic,
     hepatotoxic, and enterotoxic activities. Thus, the ether lipid,
    1-O-octadecyl-2-O-methyl-sn-glycero-3-phosphocholine, incorporated into
     phosphatidylcholine/cholesterol/phosphatidylethanolamine-glutaric acid
     liposomes, inhibited growth of human A549 lung cancer cells in vitro and
     inhibited metastasis of Lewis lung cancer in mice.
     123689-62-3
TΤ
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (ether lipid liposomes for cancer treatment)
RN
     123689-62-3 CAPLUS
     9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-
CN
```

dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

L13 ANSWER 19 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:567584 CAPLUS

DN 119:167584

TI Specific targeting with polyethylene glycol-modified liposomes: coupling of homing devices to the ends of the polymeric chains combines effective target binding with long circulation times

AU Blume, G.; Cevc, G.; Crommelin, M. D. J. A.; Bakker-Woudenberg, I. A. J. M.; Kluft, C.; Storm, G.

CS Medizinische Biophysik, Urologische Klinik und Poliklinik der Technischen Universitaet Muenchen, Klinikum r.d.I., Munchen, Germany

SO Biochimica et Biophysica Acta, Biomembranes (1993), 1149(1), 180-4 CODEN: BBBMBS; ISSN: 0005-2736

PB Elsevier B.V.

DT Journal

LA English

One possibility for bringing drugs to their specific targets is to use the drug-laden liposomes that have been made target- specific by the attachment of appropriate proteins. Such 'directed' proteoliposomes and most other particles are rapidly removed from the bloodstream, however, by the mononuclear phagocytes in the liver and spleen. This causes suboptimal drug accumulation at the target site. Coating the liposome surface with polyethylene glycol (PEG) may prolong the circulation time of liposomes. Using plasminogen as a homing device the authors have shown that the PEG-modified liposomes with such a homing device coupled to the ends of the long PEG chains may combine long vesicle circulation times in the blood with high target binding capability. The PEG-coated proteoliposomes with homing devices attached at the very bilayer surface, on the contrary, are long-lived but have only little or no capability to bind to their targets.

IT 150150-68-8

RL: BIOL (Biological study).

(liposomes containing, PEG-modified, for target binding with long circulation time)

RN 150150-68-8 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphatritriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxooctadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)

AN 1991:627813 CAPLUS

DN 115:227813

TI Carboxyacyl derivatives of phospholipid, and carbodiimide method for sensitizing liposome with antigen or antibody for liposome lysis immunoassay

IN Umeda, Mamoru; Kobayashi, Reiji

PA Nissui Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PRAT	JP 03073856 JP 1989-209789	Α	19910328 19890814	JP 1989-209789	19890814

AB Carboxyacyl derivs. of phospholipid are used to introduce antigen or antibody to the surface of liposome which encapsulates a hydrophilic label for liposome lysis immunoassay for diagnosing endocrine disease. With the liposome, the immunoassay is simple and sensitive, and is not influenced by complement interference, i.e. antigen-antibody complex formation-independent lysis. Thus, N-succinyl, glutamyl, adipoyl, pimeloyl, suberyl, sebacioyl, (l1-carboxyimidecanoyl), and (l3-carboxytridecanoyl) dipalmitoylphosphatidylethanolamine were prepared from dipalmitoyl phosphatidylethanolamine and succinic; glutaric; adipic; pimelic; suberic; sebacic; decadicarboxylic; and dodecanedicarboxylic anhydrides, and were used to link anti-C-reactive protein (CRP) IgG with liposome by adding ethyldimethylpropylaminocarbodiimide. The IgG sensitized liposome was then used for CRP determination in human blood.

IT 123689-62-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, for sensitizing liposome with antigen or antibody via carbodiimide, for preventing complement interference in liposome lysis immunoassay)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI). (CA INDEX NAME)

L13 ANSWER 21 OF 21 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:610777 CAPLUS

DN . 111:210777

TI Interaction of erythrocyte plasma membranes with "gel" liposomes (neutral and negatively charged)

AU Bel'tser, N. V.; Anishchuk, M. G.; Bogdanov, A. A., Jr.; Torchilin, V. P.

CS A. V. Palladin Inst. Biochem., Kiev, USSR

SO Biologicheskie Membrany (1989), 6(9), 955-65 CODEN: BIMEE9; ISSN: 0233-4755

DT Journal

LA Russian

AB Interactions of human erythrocytes with gel-phase liposomes prepared from dipalmitoylphosphatidylcholine (DPPC) or from DPPC and

N-glutaryl-dipalmitoylphosphatidylethanolamine mixture (9:1 molar ratio) were studied by transmission electron microscopy. The data obtained suggest the following dynamics of liposome-erythrocyte membrane interactions: in contrast to neutral liposomes, neg. charged ones rapidly bind to the cell surface (in the presence of 2 mM CaCl2); their membranes immediately undergo destabilization concomitant with glycocalyx elimination from the areas of close contact between liposome and erythrocyte membranes. Then liposomal lipids incorporate into the cell membrane causing echinocytic shape transformations followed by particle release from the tips of spicules. The erythrocyte membrane and cytoskeletal proteins seem to play a major role in the liposome-cell interactions. Treatment of erythrocytes with crosslinking agents before incubation with liposomes does not prevent binding of liposomes to the cell surfaces but strongly inhibits further mixing (fusion) of lipid components of the contacting membranes.

IT 123689-62-3

RL: BIOL (Biological study)

(liposomes containing, cell membrane of human erythrocytes interaction with gel-phase)

RN 123689-62-3 CAPLUS

CN 9,11,15-Trioxa-6-aza-10-phosphahentriacontanoic acid, 10-hydroxy-5,16-dioxo-13-[(1-oxohexadecyl)oxy]-, 10-oxide (9CI) (CA INDEX NAME)